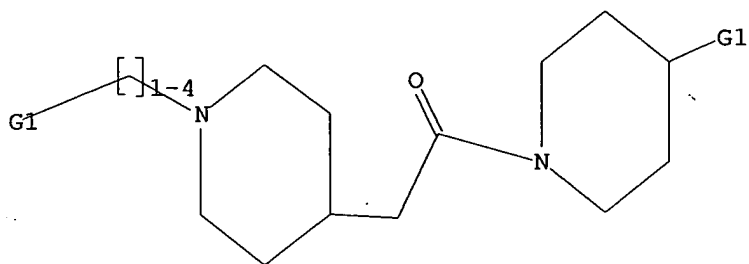


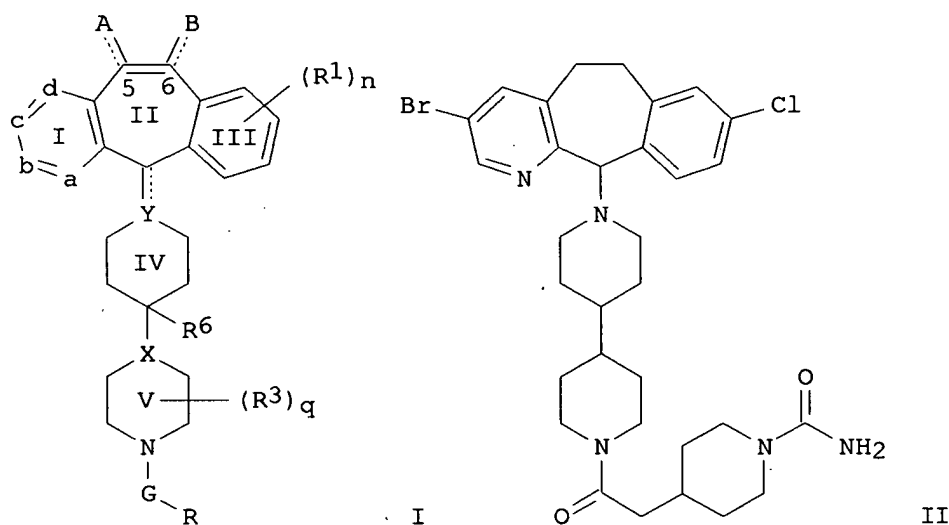
1/7/2005

STR



G1 Me, Et, i-Bu, t-Bu, Ph, H, OH, X

ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
GI



AB The title compds. (I) [wherein: X = CH, N; Y = C, CH or N, and when Y is CH or N, the optional covalent bond (represented by the dotted line between rings II and IV) is absent, and when Y is C, that optional covalent bond is present; G = (CHR<sub>4</sub>)<sub>n</sub>, CO; R = alkyl, OR<sub>4</sub>, aryl, heteroaryl, heteroaryloxy, heterocyclyl, heterocyclyloxy, cycloalkyl, cycloalkyloxy, etc.; one of a, b, c and d in ring I represents N or N+O-, and the remaining a, b, c and d positions represent C(R<sub>1</sub>) or C(R<sub>2</sub>); or each of a, b, c, and d are independently selected from C(R<sub>1</sub>) or C(R<sub>2</sub>) [R<sub>1</sub>, R<sub>2</sub> = independently same or different, H, halo, CF<sub>3</sub>, OR<sub>4</sub>, COR<sub>4</sub>, OCF<sub>3</sub>, SR<sub>4</sub>, benzotriazol-1-yloxy, tetrazol-5-ylthio, alkynyl, alkenyl, etc.]; the dotted line between carbon atoms 5 and 6 represents an optional bond, such that when a double bond is present, A and B can be the same or different, each being independently selected from the group consisting of -R<sub>4</sub>, halo, -OR<sub>4</sub>, -CO<sub>2</sub>R<sub>4</sub>, -OCO<sub>2</sub>R<sub>4</sub> or -O<sub>2</sub>CR<sub>4</sub>, and when no double bond is present between carbon atoms 5 and 6, A and B can be the same or different, each being independently selected from the group consisting of (H<sub>2</sub>), -(OR<sub>5</sub>)<sub>2</sub>, (H and halo), (dihalo), (H and R<sub>5</sub>), (R<sub>5</sub>)<sub>2</sub>, (H and -OC(O)R<sub>4</sub>), (H and -OR<sub>4</sub>), (:O), and (H, (:NOR<sub>4</sub>) or (-O(CH<sub>2</sub>)<sub>p</sub>O-) wherein p = 2-4); R<sub>3</sub> = H, alkyl, alkoxy and alkoxyalkyl; R<sub>4</sub> = H, alkyl, aryl, aralkyl; R<sub>5</sub> = alkyl, aryl; R<sub>6</sub> = H, alkyl; n = 1-4; q = 1-8] are prepared These compds., e.g. (II), are inhibitors of type 3 17β-hydroxysteroid dehydrogenase and used for

treatment, prevention, inhibition, or amelioration of one or more diseases associated with type 3 17 $\beta$ -hydroxysteroid dehydrogenase such as (1) androgen dependent diseases including prostate cancer, benign prostatic hyperplasia, prostatic intraepithelial neoplasia, hirsutism, acne, androgenic alopecia, or polycystic ovary syndrome, (2) hair loss, and (3) proliferative diseases including lung cancer, pancreatic cancer, colon cancer, renal cancer, myeloid leukemia, thyroid follicular cancer, myelodysplastic syndrome (MDS), bladder carcinoma, epidermal carcinoma, melanoma, breast cancer, ovarian cancer, and prostate cancer. The compds. I exhibited a range of 17 $\beta$ -hydroxysteroid dehydrogenase Type 3 binding activity from about 0.025 nM to about >100 nM. Several compds. of this invention had a binding activity in the range of about 0.025 nM to 10 nM.

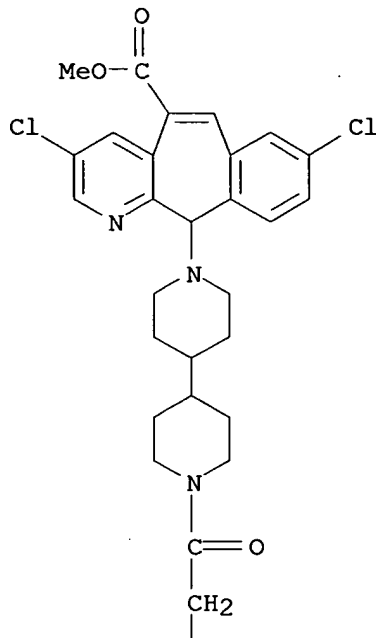
IT **723301-24-4P**

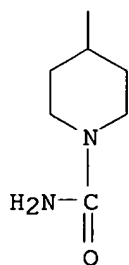
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of 6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine derivs. as 17 beta-hydroxysteroid dehydrogenase type 3 inhibitors for treatment of androgen dependent diseases and proliferative diseases)

RN 723301-24-4 CAPLUS

CN 11H-Benzo[5,6]cyclohepta[1,2-b]pyridine-5-carboxylic acid,  
 11-[1'-[[1-(aminocarbonyl)-4-piperidinyl]acetyl][4,4'-bipiperidin]-1-yl]-  
 3,8-dichloro-, methyl ester (9CI) (CA INDEX NAME)

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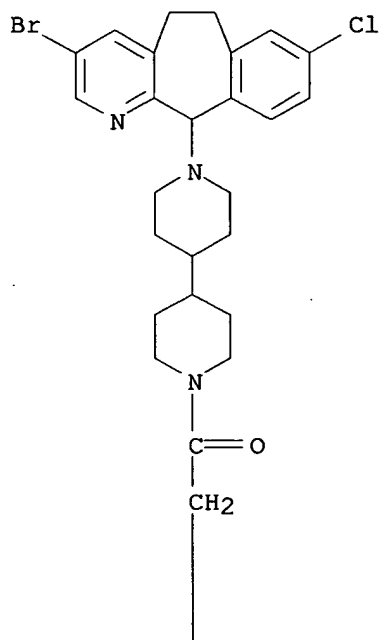
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 723301-22-2P 723301-23-3P 723301-35-7P  
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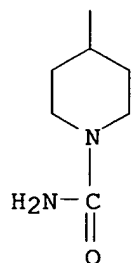
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of 6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine derivs.  
 as 17 beta-hydroxysteroid dehydrogenase type 3 inhibitors for treatment  
 of androgen dependent diseases and proliferative diseases)

RN 723301-12-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3-bromo-8-chloro-6,11-dihydro-5H-  
 benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-  
 oxoethyl]- (9CI) (CA INDEX NAME)

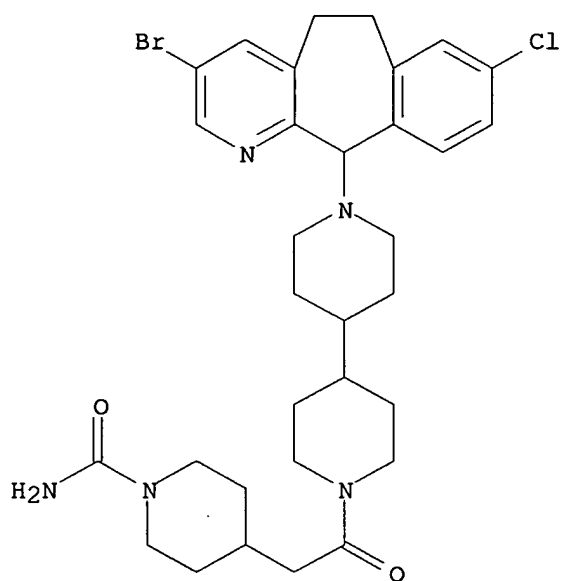




RN 723301-13-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]-, (+)- (9CI) (CA INDEX NAME)

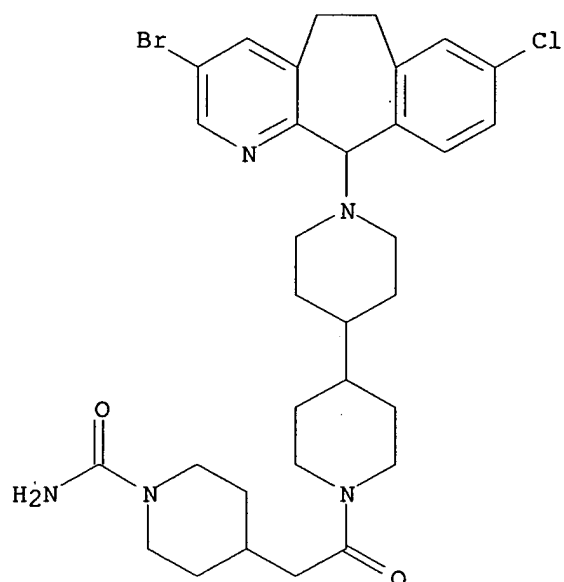
Rotation (+).



RN 723301-14-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]-, (-)- (9CI) (CA INDEX NAME)

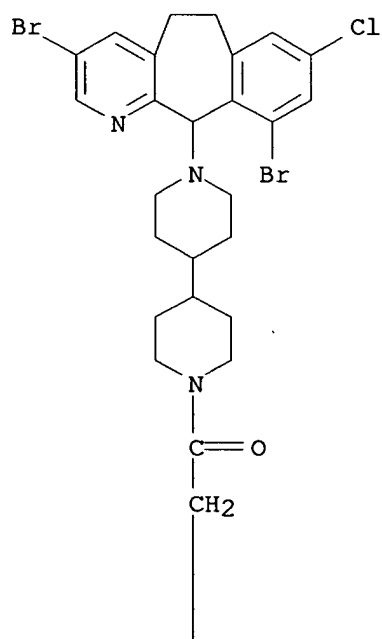
Rotation (-).

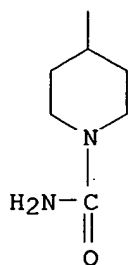


RN 723301-16-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

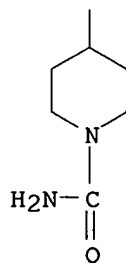
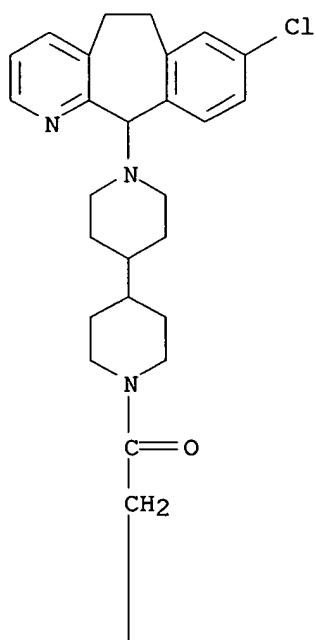
PAGE 1-A





RN 723301-17-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

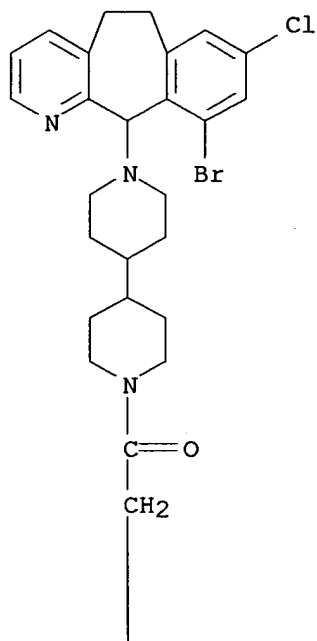


RN 723301-18-6 CAPLUS

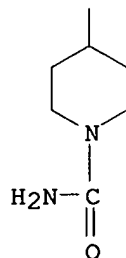
CN 1-Piperidinecarboxamide, 4-[2-[1'-(10-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-

oxoethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

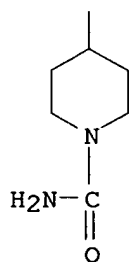
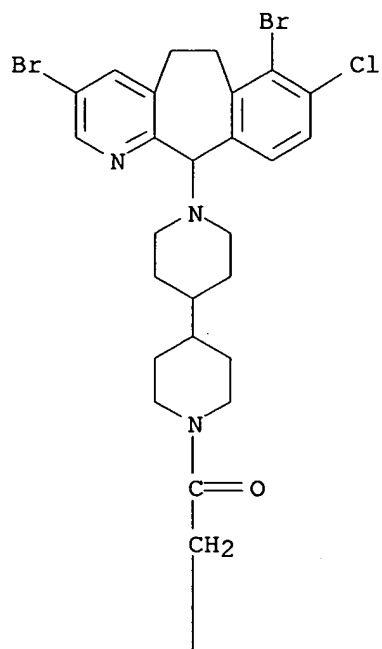


PAGE 2-A



RN 723301-19-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3,7-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)]-4,4'-bipiperidin-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

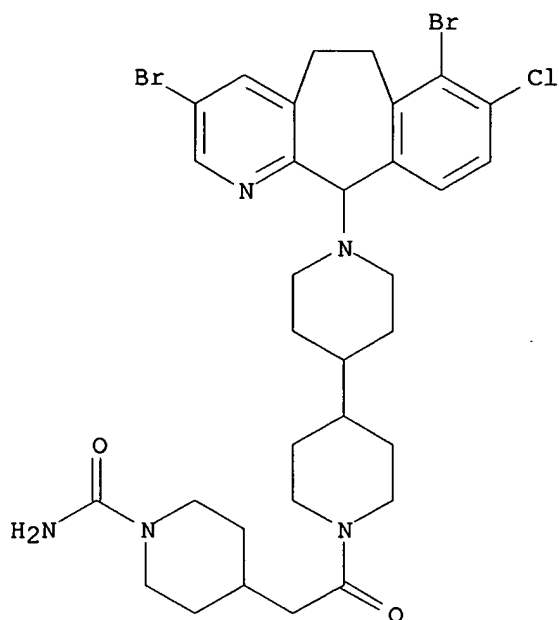


RN 723301-20-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3,7-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

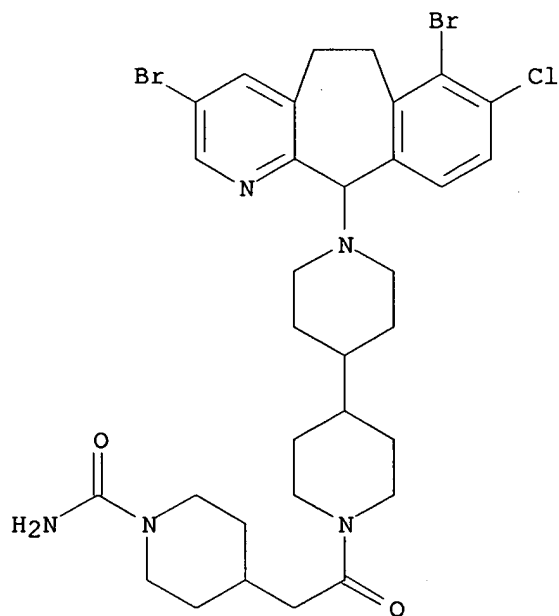




RN 723301-21-1 CAPLUS

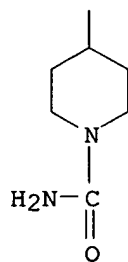
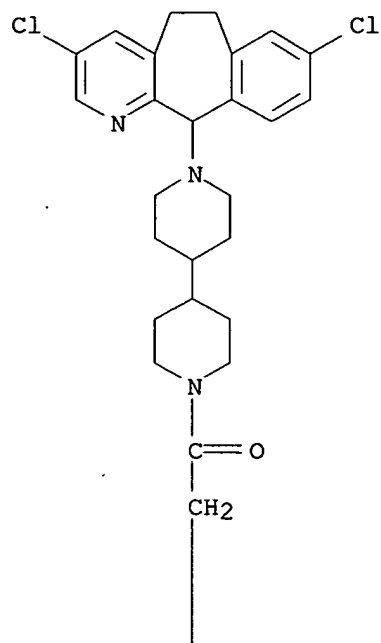
CN 1-Piperidinecarboxamide, 4-[2-[1'-(3,7-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



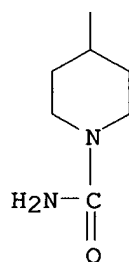
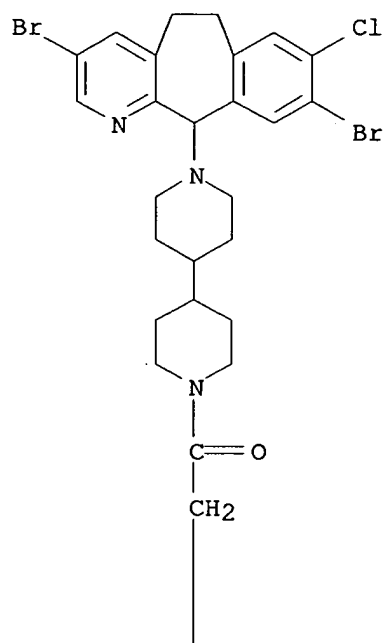
RN 723301-22-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[1'-(3,8-dichloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

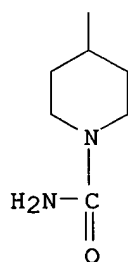
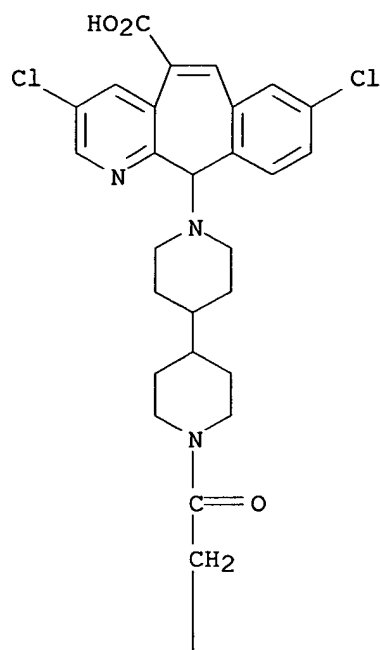


RN 723301-23-3 CAPLUS

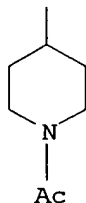
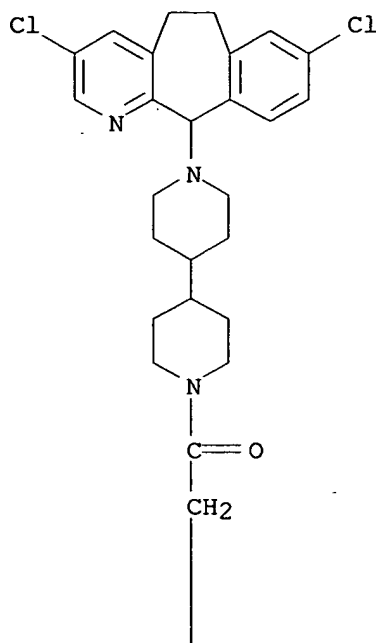
CN 1-Piperidinecarboxamide, 4-[2-[1'-(3,9-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)[4,4'-bipiperidin]-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 723301-35-7 CAPLUS  
 CN 11H-Benzo[5,6]cyclohepta[1,2-b]pyridine-5-carboxylic acid,  
 11-[1'-[[1-(aminocarbonyl)-4-piperidinyl]acetyl][4,4'-bipiperidin]-1-yl]-  
 3,8-dichloro- (9CI) (CA INDEX NAME)



RN 723301-36-8 CAPLUS  
 CN 4,4'-Bipiperidine, 1-[2-(1-acetyl-4-piperidinyl)acetyl]-1'-(3,8-dichloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2004:570505 CAPLUS  
 DOCUMENT NUMBER: 141:123570  
 TITLE: Preparation of 6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine derivatives as 17 beta-hydroxysteroid dehydrogenase type 3 inhibitors for the treatment of androgen dependent diseases  
 INVENTOR(S): Guzi, Timothy J.; Liu, Yi-Tsung; Doll, Ronald J.; Saksena, Anil; Girijavallabhan, Viyyoor M.; Pachter, Jonathan A.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 72 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

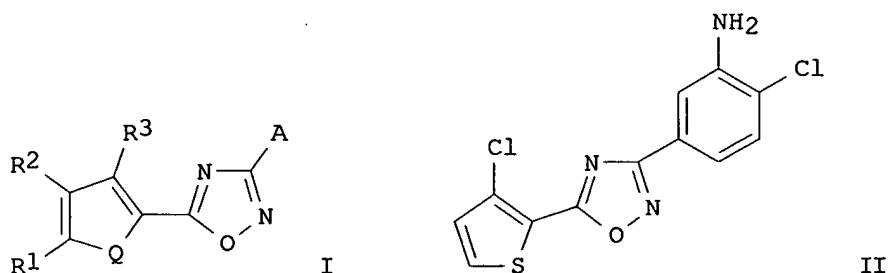
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138226	A1	20040715	US 2003-735983	20031215
WO 2004060488	A1	20040722	WO 2003-US39863	20031215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,

HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV,  
 MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU,  
 SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN,  
 YU, ZA, ZM  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-434101P P 20021217  
 OTHER SOURCE(S): MARPAT 141:123570

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB Title compds. I [R1-3 = H, halo, haloalkyl, aryl, etc.; Q = S, O, amino; A = heterocycle, carbocycle] are prepared For instance, 3-amino-4-chlorobenzamidoxime (preparation given) is reacted with 3-chlorothiophene-2-carbonyl chloride (pyridine, reflux, 50 min) to give II. II and other examples are potent caspase cascade activators and inducers of apoptosis in solid tumor cells, e.g., human breast cancer cell lines T-47D and ZR-75-1.

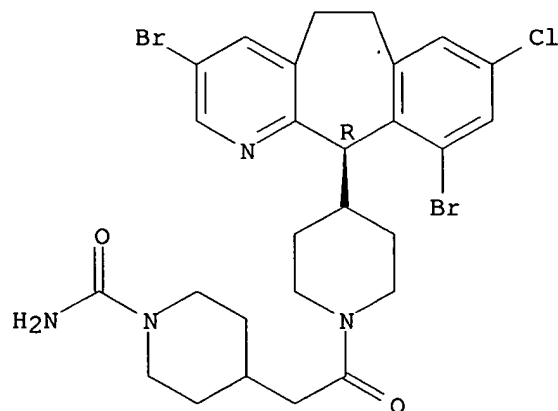
IT **193275-84-2**, SCH66336

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination pharmaceutical; preparation of 3,5-Disubstituted-[1,2,4]-oxadiazoles and analogs as activators of caspases and inducers of apoptosis)

RN 193275-84-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



ACCESSION NUMBER: 2004:565086 CAPLUS  
 DOCUMENT NUMBER: 141:123632  
 TITLE: Preparation of 3,5-Disubstituted-[1,2,4]-oxadiazoles and analogs as activators of caspases and inducers of apoptosis  
 INVENTOR(S): Cai, Sui Xiong; Zhang, Han-zhong; Kuemmerle, Jared D.; Zhang, Hong; Kemnitzer, William E.  
 PATENT ASSIGNEE(S): Cytovia, Inc., USA  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058253	A1	20040715	WO 2003-US40308	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004127521	A1	20040701	US 2003-737865	20031218
PRIORITY APPLN. INFO.:			US 2002-433953P	P 20021218
OTHER SOURCE(S):			MARPAT 141:123632	

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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R<sup>1</sup>-L<sup>1</sup>-(Alk<sup>1</sup>)<sub>m</sub>-HET<sup>1</sup>-L<sup>2</sup>-(Alk<sup>2</sup>)<sub>n</sub>-R<sup>2</sup> I

AB Title compds. I [R<sup>1</sup>, R<sup>2</sup> = (un)substituted alkyl, heterocycle; ALK<sup>1</sup>, ALK<sup>2</sup> = (un)substituted secondary alkyl; L<sup>1</sup> = linker; L<sup>2</sup> = bond, linker; HET<sup>1</sup> = (un)substituted saturated heterocycle containing N; m, n = 0, 1] and their pharmaceutically acceptable salts were prepared. For example, coupling reaction of {1-[(2E)-3-phenylprop-2-en-1-yl]piperidin-4-yl}acetic acid with 1,1-diphenylmethaneamine followed by treatment with fumaric acid, afforded compound I [R<sup>1</sup> = benzhydryl; R<sup>2</sup> = Ph; L<sup>2</sup>-(ALK<sup>2</sup>)<sub>n</sub> = CH<sub>2</sub>CH:CH<sub>2</sub>; HET<sup>1</sup> = piperidine; L<sup>1</sup>-(ALK)<sub>m</sub> = 4-NHCOCH<sub>2</sub>] fumaric acid salt in 65% yield. In human melanin-concentrating hormone (MCH) inhibition assays, the IC<sub>50</sub> value of compound I [R<sup>1</sup> = benzhydryl; R<sup>2</sup> = Ph; L<sup>2</sup>-(ALK<sup>2</sup>)<sub>n</sub> = CH<sub>2</sub>CH:CH<sub>2</sub>; HET<sup>1</sup> = piperidine; L<sup>1</sup>-(ALK)<sub>m</sub> = 4-NHCOCH<sub>2</sub>] was 430 nM. Compds. I are claimed useful for treatment of obesity.

IT 696589-54-5P 696589-56-7P 696590-48-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidines and related compds. as melanin-concentrating hormone

receptor antagonist for treatment of obesity)

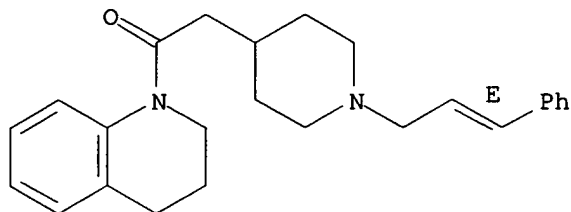
RN 696589-54-5 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-[[1-[(2E)-3-phenyl-2-propenyl]-4-piperidinyl]acetyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 696589-53-4  
CMF C25 H30 N2 O

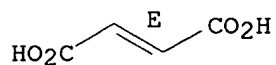
Double bond geometry as shown.



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

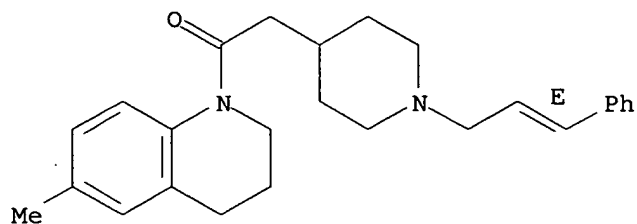


RN 696589-56-7 CAPLUS  
CN Quinoline, 1,2,3,4-tetrahydro-6-methyl-1-[[1-[(2E)-3-phenyl-2-propenyl]-4-piperidinyl]acetyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 696589-55-6  
CMF C26 H32 N2 O

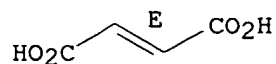
Double bond geometry as shown.



CM 2

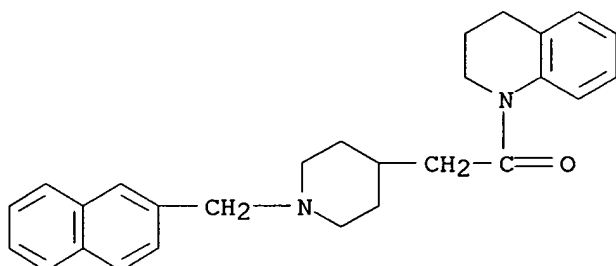
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



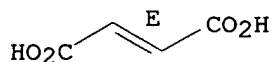


RN 696590-48-4 CAPLUS  
 CN Quinoline, 1,2,3,4-tetrahydro-1-[[1-(2-naphthalenylmethyl)-4-piperidinyl]acetyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 696590-47-3  
 CMF C27 H30 N2 O



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

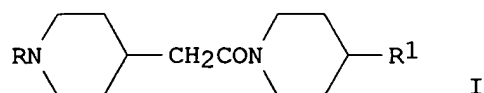


ACCESSION NUMBER: 2004:453186 CAPLUS  
 DOCUMENT NUMBER: 141:23426  
 TITLE: Preparation of piperidines and related compounds as melanin-concentrating hormone receptor antagonist for treatment of obesity  
 INVENTOR(S): Kaku, Hidetaka; Kondoh, Yutaka; Hayashibe, Satoshi; Kamikubo, Takashi; Iwasaki, Fumiyoshi; Matsumoto, Shunichiro; Kimura, Yasuharu; Kurama, Takeshi  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 155 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046110	A1	20040603	WO 2003-JP14534	20031114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,			

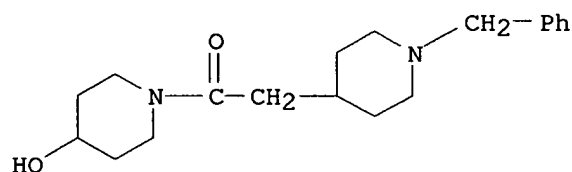
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.: JP 2002-332950 A 20021115  
 OTHER SOURCE(S): MARPAT 141:23426  
 REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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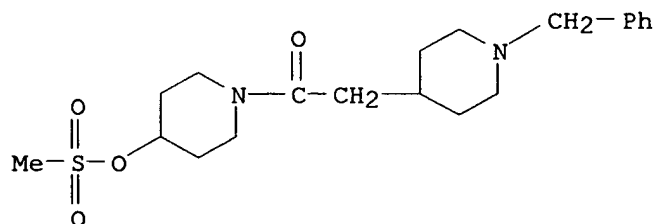


AB N-Alkoxy carbonyl piperidines I [R = alkoxy carbonyl, R1 = O3SMe] were  
 prepd. by reacting I [R = aralkyl, R1 = OH] with a mesyl halide in the  
 presence of a base to give I [R = aralkyl, R1 = O3SMe], and reacting this  
 with a dicarbonate in the presence of hydrogen and a catalyst containing  
 palladium to give I [R = alkoxy carbonyl, R1 = O3SMe]. Thus, 1-  
**benzyl**-4-piperidinone was treated with EtO2CCH2P(O)(OEt)2, reduced  
 in two steps to 1-(1-**benzyl**-4-piperidinylacetyl)-4-piperidinol,  
 mesylated, and treated with di-tert.-Bu carbonate in presence of H and  
 Pd-C to give I [R = Boc, R1 = O3SMe].

IT **674301-86-1P 674301-87-2P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of N-alkoxy carbonyl piperidine derivs.)  
 RN 674301-86-1 CAPLUS  
 CN 4-Piperidinol, 1-[[1-(phenylmethyl)-4-piperidinyl]acetyl]- (9CI) (CA  
 INDEX NAME)



RN 674301-87-2 CAPLUS  
 CN 4-Piperidinol, 1-[[1-(phenylmethyl)-4-piperidinyl]acetyl]-,  
 methanesulfonate (ester) (9CI) (CA INDEX NAME)

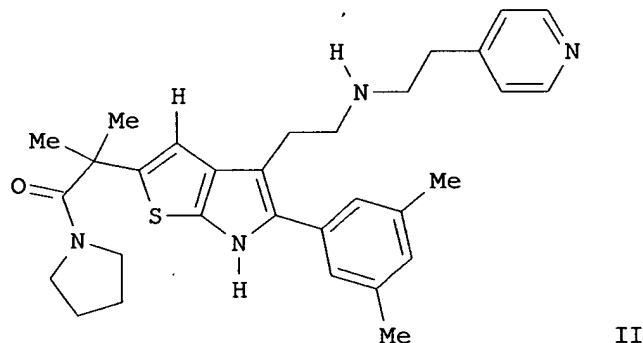
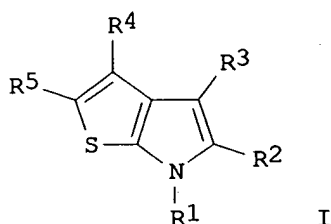


ACCESSION NUMBER: 2004:247005 CAPLUS  
 DOCUMENT NUMBER: 140:270745  
 TITLE: Methods of producing N-alkoxy carbonyl piperidine  
 derivatives and intermediates therefor  
 INVENTOR(S): Nakagawa, Kiyono

PATENT ASSIGNEE(S): Yuki Gosei Kogyo Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 21 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1400511	A1	20040324	EP 2003-20567	20030917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2004131486	A2	20040430	JP 2003-305662	20030829
US 2004063953	A1	20040401	US 2003-664074	20030917
PRIORITY APPLN. INFO.:			JP 2002-272173	A 20020918
OTHER SOURCE(S):	MARPAT 140:270745			
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R2 = (un)substituted mono or bicyclic aromatic ring; R3 = arylalkylaminoalkyl, arylheterocyclalkyl, heterocyclheterocyclalkyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R5 = heterocyclcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5 μM.

IT **666851-80-5P**

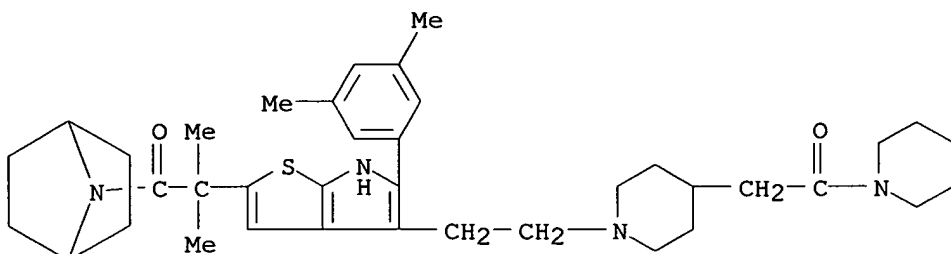
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienopyrroles as antagonists of gonadotropin releasing hormone)

RN 666851-80-5 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[4-[2-oxo-2-(1-piperidinyl)ethyl]-1-piperidinyl]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2004:182888 CAPLUS

DOCUMENT NUMBER: 140:235695

TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as antagonists of gonadotropin-releasing hormone (GnRh) for treating sex hormone related conditions

INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter, Alexander Graham; Arnould, Jean Claude; Lamorlette, Maryannick Andree; Delouvrie, Benedicte; Hamon, Annie

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018480	A1	20040304	WO 2003-GB3631	20030819
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2002-292074 A 20020821

OTHER SOURCE(S): MARPAT 140:235695

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AB The invention is directed to derivs. of gambogic acid and analogs thereof. Exemplary gambogic acid derivs. of the present invention include, among others, derivs. substituted in the C10 and C28 positions of gambogic acid. The present invention also relates to the discovery that certain preferred compds. of the invention are activators of caspases and inducers of apoptosis. Therefore, the activators of caspases and inducers of

apoptosis of this invention can be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs.

IT 193275-84-2, SCH66336

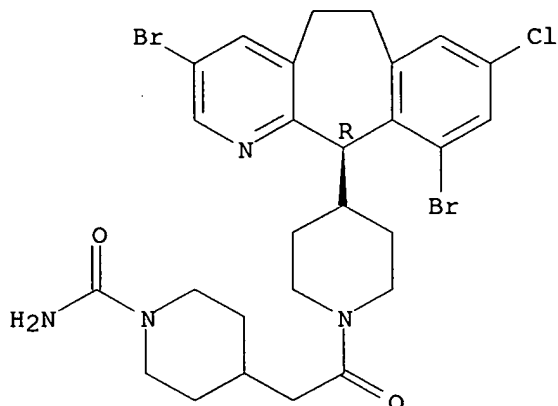
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(derivs. of gambogic acid and analogs as activators of caspases and inducers of apoptosis)

RN 193275-84-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



ACCESSION NUMBER: 2004:20448 CAPLUS

DOCUMENT NUMBER: 140:87676

TITLE: Derivatives of gambogic acid and analogs as activators of caspases and inducers of apoptosis

INVENTOR(S): Tseng, Ben; Sirisoma, Nilantha Sudath; Cai, Sui Xiong; Zhang, Han-Zhong; Kasibhatla, Shailaja; Ollis, Kristin P.; Drewe, John A.

PATENT ASSIGNEE(S): Cytovia, Inc., USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

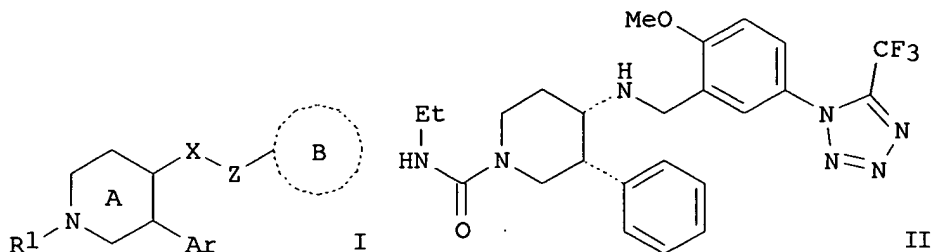
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002428	A2	20040108	WO 2003-US20668	20030701
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004082066	A1	20040429	US 2003-609670	20030701
PRIORITY APPLN. INFO.:			US 2002-392358P	P 20020701
			US 2002-413649P	P 20020926

OTHER SOURCE(S) :

MARPAT 140:87676

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AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R<sup>1</sup> = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH<sub>2</sub>; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC<sub>50</sub> of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT **632349-24-7P**

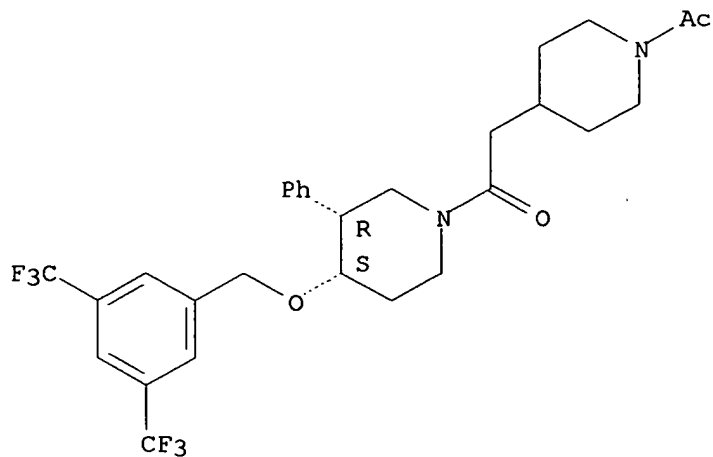
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632349-24-7 CAPLUS

CN Piperidine, 1-[(1-acetyl-4-piperidiny)acetyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-phenyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



ACCESSION NUMBER: 2003:972057 CAPLUS  
 DOCUMENT NUMBER: 140:27765  
 TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence  
 INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshii; Tarui, Naoki; Shirai, Junya; Yamashita, Masayuki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 264 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004285038	A2	20041014	JP 2003-154345	20030530
PRIORITY APPLN. INFO.:			JP 2002-159338	A 20020531
			JP 2003-17885	A 20030127

OTHER SOURCE(S): MARPAT 140:27765  
 REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; one of a, b, d, e = N, N:O; remaining a, b, d, e = C (wherein each C atom has an R1 or R2 bound to said carbon); or each a, b, d, e = C (wherein each C atom has an R1 or R2); R1-R4 = H, halo, CF3, alkoxy, etc.; R5-R7, R9 = H, CF3, alkyl, aryl, etc.; R8 = H, alkoxy carbonyl, aryloxy carbonyl, alkylsulfonyl, arylsulfonyl, etc.; dotted line = single or double bond; X = N, CH; A, B = (un)substituted CH, CH2], their stereoisomers, pharmaceutically acceptable salts, solvates, and prodrugs which are useful for inhibiting farnesyl protein transferase, were prepared E.g., a multi-step synthesis of II, was given. The compds. I have an FTP IC50 in the range of 0.05 nM to 100 nM. Also disclosed are pharmaceutical compns. comprising title compds. I as well as methods of using them to treat proliferative diseases such as cancer.

IT 403486-25-9P

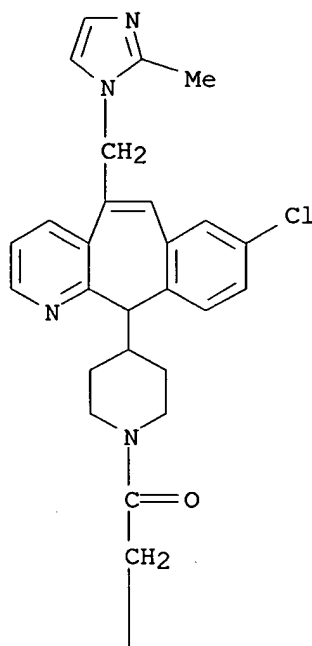
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic antitumor compds. as farnesyl protein transferase inhibitors)

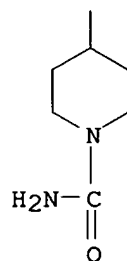
RN 403486-25-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[8-chloro-5-[(2-methyl-1H-imidazol-1-yl)methyl]-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



ACCESSION NUMBER: 2003:971730 CAPLUS  
DOCUMENT NUMBER: 140:27844  
TITLE: Preparation of tricyclic antitumor compounds as  
farnesyl protein transferase inhibitors  
INVENTOR(S): Zhu, Hugh Y.; Njoroge, F. George; Cooper, Alan B.;  
Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.;  
Doll, Ronald J.; Girijavallabhan, Viyyoor M.;  
Santhanam, Bama; Pinto, Patrick A.; Vibulbhan, Banacha;  
Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin,  
John J.; Li, Ge; Huang, Chia-Yu; James, Ray A.;  
Bishop, W. Robert; Wang, James J. S.; Desai, Jagdish  
A.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 519 pp., Cont.-in-part of U.S.  
Pat. Appl. 2002 198,216.  
CODEN: USXXCO



DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229099	A1	20031211	US 2002-85896	20020227
US 2002198216	A1	20021226	US 2001-940811	20010828
US 2004122018	A1	20040624	US 2002-325896	20021219
US 2004122018	A1	20040624	US 2002-325896	20021219
US 2004122018	A1	20040624	US 2002-325896	20021219
WO 2003072549	A1	20030904	WO 2003-US5479	20030225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1492772	A1	20050105	EP 2003-711214	20030225
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2000-229183P	P 20000830
			US 2001-940811	A2 20010828
			US 2002-85896	A2 20020227
			US 2002-325896	A 20021219
			WO 2003-US5479	W 20030225

OTHER SOURCE(S): MARPAT 140:27844

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title pyridobenzocycloheptenes I [one of a-d = N, the others = (un)substituted CH; X = singly bonded N, singly or doubly bonded C; R, R2 = H, singly bonded substituent, R1, R3 = H; R1R3 = bond; R4 = (un)substituted CO2H, SO2H, CONH2, acyl; and the benzene and heterocyclic ring may have further substituents] which are used as an FPT inhibitor for the manufacture of a medicament for the treatment of cancer (e.g., non small cell lung cancer, squamous cell cancer of the head and neck, CML, AML, non-Hodgkin's lymphoma and multiple myeloma) in combination with therapeutically effective amts. of one or more antineoplastic agents, were prepared A preferred compound is II.

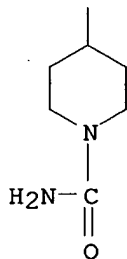
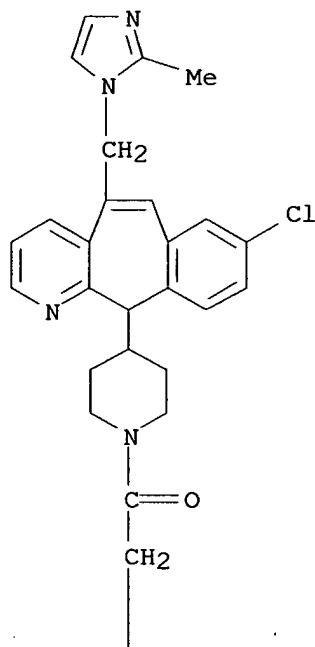
IT **403486-25-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of pyridobenzocycloheptene derivs. as farnesyl protein transferase inhibitors for treating cancer)

RN 403486-25-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[8-chloro-5-[(2-methyl-1H-imidazol-1-yl)methyl]-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

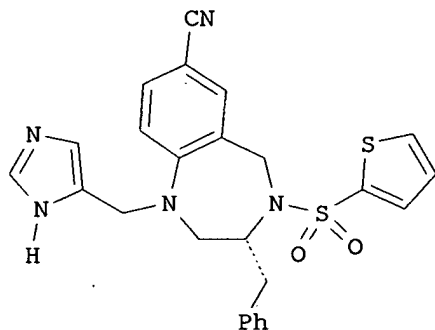


ACCESSION NUMBER: 2003:454126 CAPLUS  
 DOCUMENT NUMBER: 139:52885  
 TITLE: Use of pyridobenzocycloheptene FPT inhibitors and at least two antineoplastic agents in the treatment of cancer  
 INVENTOR(S): Cutler, David L.; Baum, Charles; Zaknoen, Sara L.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: PCT Int. Appl., 406 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047586	A1	20030612	WO 2002-US38716	20021203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK,				

SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2004006087 A1 20040108 US 2002-308813 20021203  
 EP 1453513 A1 20040908 EP 2002-784716 20021203  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 PRIORITY APPLN. INFO.: US 2001-336961P P 20011203  
 WO 2002-US38716 W 20021203  
 OTHER SOURCE(S): MARPAT 139:52885  
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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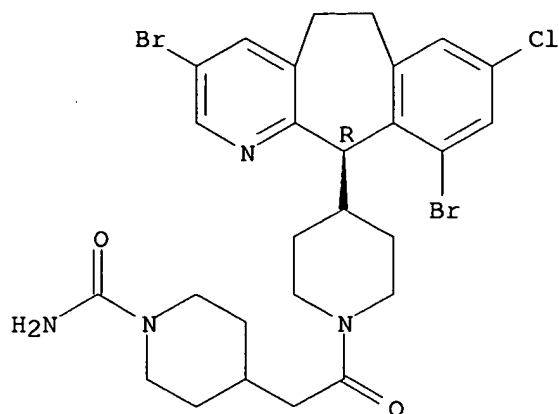
AB Disclosed is a method of treating Malaria comprising administering to a patient in need of such treatment an effective amount of at least one farnesyl protein transferase (FPT) inhibitor alone or in combination with an addnl. antimalarial agent and/or agent for reversing antimalarial resistance. Also disclosed are pharmaceutical compns. comprising at least one FPT inhibitor, in combination with at least one addnl. antimalaria agent and/or at least one addnl. agent for reversing antimalarial resistance, and a pharmaceutically acceptable carrier. Synthetic methods to prepare 15 of 26 claimed FPT inhibitors are provided. The claimed FPT inhibitors possessed ED50 values ( $\mu\text{M}$ ) of 0.05-5 in in vitro plasmodium falciparum growth inhibition assays. Specifically, I demonstrated an ED50 range of 0.05-0.2 in the assay.

IT **193275-84-2 193275-85-3**  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (farnesyl protein transferase inhibitors disclosed for the treatment of malaria)

RN 193275-84-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

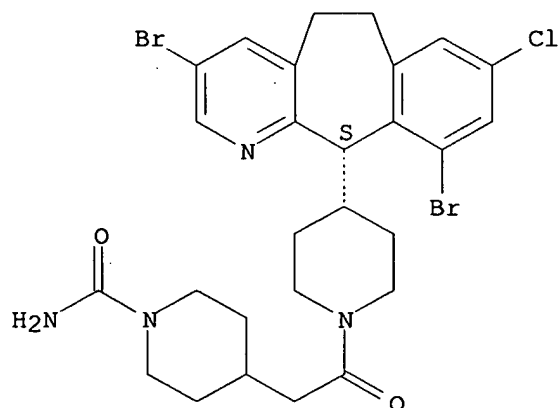
Absolute stereochemistry. Rotation (+).



RN 193275-85-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[(11S)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



ACCESSION NUMBER: 2002:793399 CAPLUS

DOCUMENT NUMBER: 137:304744

TITLE: Treatment of malaria with farnesyl protein transferase inhibitors

INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Strickland, Corey O.; Girijavallabhan, Viyyoor M.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080895	A2	20021017	WO 2002-US10698	20020404
WO 2002080895	A3	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-282092P

P 20010406

US 2001-283107P

P 20010411

OTHER SOURCE(S):

MARPAT 137:304744

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AB Disclosed is a method of treating malaria comprising administering an effective amount of a farnesyl protein transferase inhibitor to a patient in need of such treatment alone or in combination with an addnl. antimalarial agent and/or agent for reversing antimalarial resistance. Also disclosed are novel farnesyl protein transferase inhibitors. Compds. of this invention exhibit a *P. falciparum* ED50 range of between 0.05  $\mu$ M and 8.6  $\mu$ M.

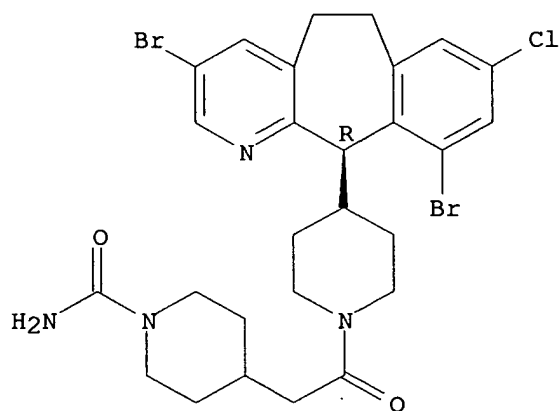
IT 193275-84-2 193275-85-3

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(treatment of malaria with farnesyl protein transferase inhibitors and preparation of tricyclic compds. for treatment)

RN 193275-84-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

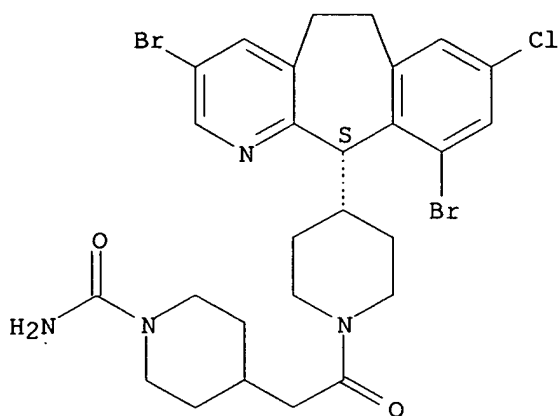
Absolute stereochemistry. Rotation (+).



RN 193275-85-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[(11S)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



ACCESSION NUMBER: 2002:555351 CAPLUS  
 DOCUMENT NUMBER: 137:125178  
 TITLE: Treatment of malaria with farnesyl protein transferase inhibitors and preparation of tricyclic compounds for said treatment  
 INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Wang, James J.-S.; Strickland, Corey; Njoroge, F. George; Guzi, Timothy J.; Girijavallabhan, Viyyoor M.; Ferreira, Johan A.; Desai, Jagdish A.; Cooper, Alan B.; Gelb, Michael  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056884	A2	20020725	WO 2002-US1637	20020118
WO 2002056884	A3	20030912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003092705	A1	20030515	US 2002-53335	20020118
US 6645966	B2	20031111		
US 2004087592	A1	20040506	US 2003-690232	20031021
PRIORITY APPLN. INFO.:			US 2001-263277P	P 20010122
			US 2002-53335	A3 20020118

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; one of a, b, d, e = N, N:O; remaining a, b, d, e = C,

where each C has an R1 or R2; each a, b, d, e = C, where each C has an R1 or R2; R1, R2 independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy, arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio; R3, R4 independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy, arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio; R5, R6, R7, R9 independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy, arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio; R5R6 = O, S; R8 = H, alkoxycarbonyl, aryloxycarbonyl, cycloalkoxycarbonyl, heteroaryloxycarbonyl, alkylsulfonyl, arylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, HONHCO, NCNHCO, CF3NHCO, R10R11NCO, R12R13R14CO; R10 = alkyl; R11 = H, OH, alkyl, aryl cycloalkyl heteroaryl; R12, R13, R14 independently = H, alkyl, aryl, cycloalkyl, heteroaryl; dotted line = single, double bond; X = N, CH; A = CH, CH2, CH], stereoisomers, pharmaceutically acceptable salts, solvates, and prodrugs are prepared and are useful for inhibiting farnesyl protein transferase. Also disclosed are pharmaceutical compns. comprising title compds. I and their preparation as well as methods of using them to treat proliferative diseases such as cancer. Title compds. I and other chemotherapeutic agents, selected from signal transduction inhibitors (bcr/abl kinase inhibitor, epidermal growth factor receptor inhibitor, her-2/neu receptor inhibitor), antineoplastic agents (uracil mustard, cyclo-phosphamide, etc.), microtubule affecting agents (Allocolchicine, Maytansine, etc.) are disclosed as pharmaceutical compns. Thus, the title compound II was prepared from 8-chloro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one, tert-Bu 1-piperazinecarboxylate, 2-methylimidazole, and p-cyanophenyl isocyanate via carbonation and hydrogenation.

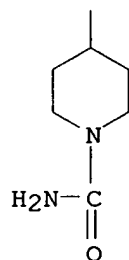
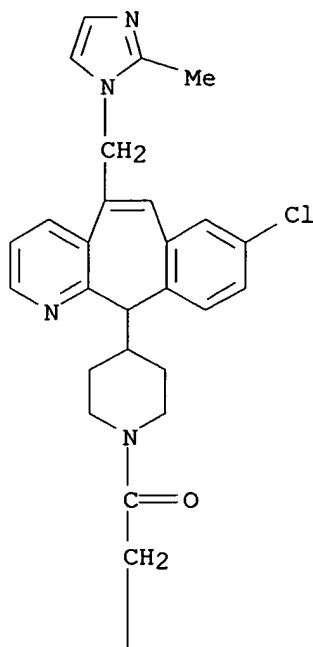
IT **403486-25-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic compds. being farnesyl protein transferase inhibitors)

RN 403486-25-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-[8-chloro-5-[(2-methyl-1H-imidazol-1-yl)methyl]-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



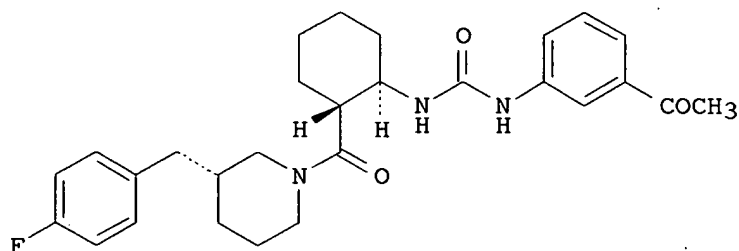
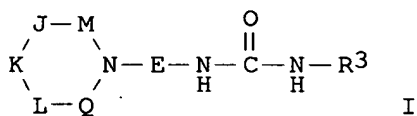
ACCESSION NUMBER: 2002:171884 CAPLUS  
 DOCUMENT NUMBER: 136:232320  
 TITLE: Preparation of tricyclic antitumor compounds being farnesyl protein transferase inhibitors  
 INVENTOR(S): Njoroge, F. George; Vibulbhan, Bancha; Cooper, Alan B.; Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Santhanam, Bama; Pinto, Patrick A.; Zhu, Hugh Y.; Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin, John J.; Li, Ge; Huang, Chia-yu; James, Ray A.; Bishop, Robert W.; Wang, James; Desai, Jagdish A.  
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.  
 SOURCE: PCT Int. Appl., 405 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002018368 A1 20020307 WO 2001-US26792 20010828  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2420673 AA 20020307 CA 2001-2420673 20010828  
AU 2001088451 A5 20020313 AU 2001-88451 20010828  
EP 1313725 A1 20030528 EP 2001-968188 20010828  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
BR 2001013675 A 20030624 BR 2001-13675 20010828  
JP 2004513885 T2 20040513 JP 2002-523486 20010828  
NZ 524246 A 20041126 NZ 2001-524246 20010828  
NO 2003000918 A 20030429 NO 2003-918 20030227  
PRIORITY APPLN. INFO.: US 2000-229183P P 20000830  
WO 2001-US26792 W 20010828  
OTHER SOURCE(S): MARPAT 136:232320  
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB Title compds. I [M = absent CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub>, CR<sub>13</sub>R<sub>13</sub>, and CR<sub>5</sub>R<sub>13</sub>; Q = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub>, CR<sub>13</sub>R<sub>13</sub>, and CR<sub>5</sub>R<sub>13</sub>; K = CH<sub>2</sub>, CHR<sub>5</sub> and CHR<sub>6</sub>; J, L = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>6</sub>, CR<sub>6</sub>R<sub>6</sub> and CR<sub>5</sub>R<sub>6</sub>; with the provisions that at least one of M, J, K, L, or Q contains an R<sub>5</sub>; and when M absent, J = CH<sub>2</sub>, CHR<sub>5</sub>, CHR<sub>13</sub> and CR<sub>5</sub>R<sub>13</sub>; Z = O, S, NR<sub>1a</sub>, C(CN)<sub>2</sub>, CH(NO)<sub>2</sub>, CHCN; R<sub>1a</sub> = H, (cyclo)alkyl, amido, alkoxy, CN, NO<sub>2</sub>, etc.; E = C:O-alkyl, sulfonyl-alkyl, C:O-cycloalkyl; etc.; R<sub>3</sub> = alkylamino, alkyl-carbocyclic, etc.; R<sub>5</sub> = alkyl-carbocyclic; R<sub>6</sub> = alk(en/yn)yl, alkyl-cycloalkyl, CN, alkylamino, alkyl-hydroxy, etc.; R<sub>13</sub> = alk(en/yn)yl, cycloalkyl, alkyl-CF<sub>3</sub>, alkylamino, alkyl-alkoxy; etc.] were prepared Over 80 synthetic examples were disclosed. For instance, (1R,2R)-2-(benzyloxycarbonylamino)cyclohexanecarboxaldehyde (preparation given) was oxidized to the corresponding carboxylic

acid (NaOAc/HOAc, pH 3.5, CH<sub>3</sub>CN, resorcinol, NaClO<sub>2</sub>, 0°C, 16 h) and condensed with (S)-3-(4-fluorobenzyl)piperidine (preparation given; CH<sub>2</sub>Cl<sub>2</sub>, BOP, Et<sub>3</sub>N, 0°C, 16 h) to give the amide. The intermediate Cbz group was removed (MeOH, 10% Pd/C, 50 psi H<sub>2</sub>, overnight) and the amine acylated with 3-acetylphenylisocyanate (THF, 25°C) to give example compound II. I are modulators of chemokine receptor activity and are useful in the prevention of asthma and other allergic diseases.

IT **382638-09-7P**

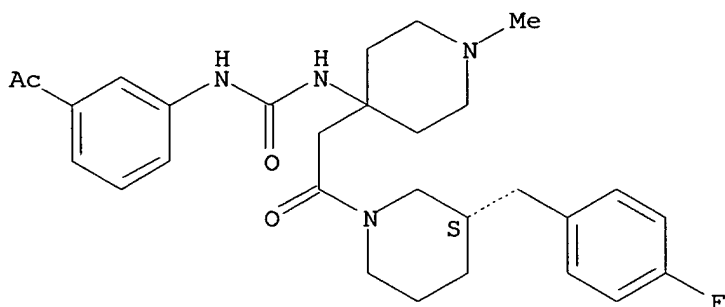
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of piperidine amides as modulators of chemokine receptor activity)

RN 382638-09-7 CAPLUS

CN Piperidine, 1-[[4-[[[(3-acetylphenyl)amino]carbonyl]amino]-1-methyl-4-piperidinyl]acetyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2001:935573 CAPLUS

DOCUMENT NUMBER: 136:53686

TITLE: Synthesis of piperidine-amido-ureas as modulators of chemokine receptor activity

INVENTOR(S): Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing; Zheng, Changsheng

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 326 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

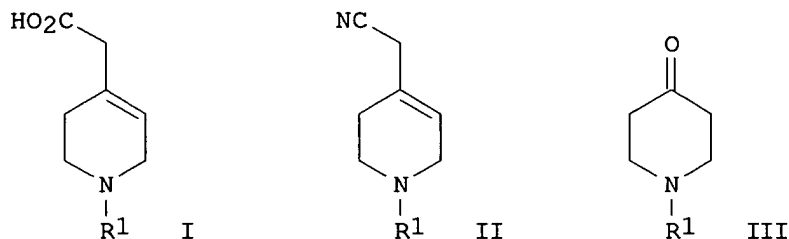
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098268	A2	20011227	WO 2001-US19705	20010620
WO 2001098268	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413418	AA	20011227	CA 2001-2413418	20010620
US 2002156102	A1	20021024	US 2001-885550	20010620

US 6638950	B2	20031028		
EP 1296949	A2	20030402	EP 2001-946580	20010620
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JP 2004516237	T2	20040603	JP 2002-504224	20010620
US 2004082790	A1	20040429	US 2003-635946	20030807
PRIORITY APPLN. INFO.:			US 2000-213066P	P 20000621
			US 2001-885550	A3 20010620
			WO 2001-US19705	W 20010620

OTHER SOURCE(S):            MARPAT 136:53686

L4    ANSWER 14 OF 18    CAPLUS    COPYRIGHT 2005 ACS on STN  
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AB    The title compds. [I; R1 = C1-12 alkyl, (un)substituted CH2Ph, R2CO (wherein R2 = H, C1-12 alkyl optionally branched C1-12 alkoxy, optionally substituted aryl), allyl] or salts thereof are prepared by hydrolysis of tetrahydropyridineacetonitrile derivs. (II; R1 = same as above) which are in turn prepared by condensation of 4-piperidone derivs. [III; R1= H, C1-12 alkyl, (un)substituted CH2Ph, R2CO (wherein R2 = H, C1-12 alkyl optionally branched C1-12 alkoxy, optionally substituted aryl), allyl] with cyanoacetic acid. This process economically gives in an industrial scale the above compds. I which are useful as intermediates for an anticancer agent, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-5,6,11-trihydrobenzo[f]pyridino[2,3-b]cycloheptan-11-yl]-1-piperidyl]-2-oxoethyl]-1-piperidinecarboxamide (SCH-66336). Thus, 94.7 g 1-**benzyl**-4-piperidone and 51.1 g cyanoacetic acid were heated in 473.3 g toluene at 104-110° under reflux with azeotropically removing H2O for 2 h to give 97.1% 1-**benzyl**-4-(1,2,3,6-tetrahydropyridine)acetonitrile (IV) which converted into the HCl salt in 57.0% yield by treatment with HCl in AcOEt. IV.HCl (5.0 g) was heated in 8.4 g 35% aqueous HCl at 80° for 5 h to give 86.6% 1-**benzyl**-4-1,2,3,6-tetrahydropyridineacetic acid.

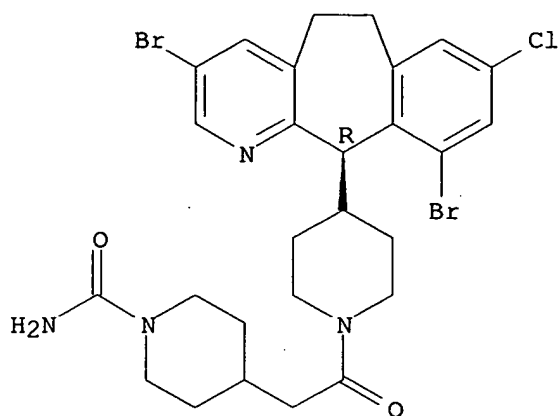
IT    **193275-84-2P**, SCH-66336

RL: PNU (Preparation, unclassified); PREP (Preparation)  
(preparation of tetrahydropyridineacetic acids or their salts as intermediate for anticancer SCH-66336 by condensation of piperidone derivs. with cyanoacetic acid and hydrolysis of tetrahydropyridineacetonitrile derivs.)

RN    193275-84-2    CAPLUS

CN    1-Piperidinecarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI)    (CA INDEX NAME)

Absolute stereochemistry.    Rotation (+).



ACCESSION NUMBER: 2001:932501 CAPLUS  
 DOCUMENT NUMBER: 136:53684  
 TITLE: Tetrahydropyridineacetic acids or their salts and their intermediates and method for preparing them  
 INVENTOR(S): Hayashi, Taketo; Nishiwaki, Kenji  
 PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001354653	A2	20011225	JP 2000-171923	20000608
PRIORITY APPLN. INFO.:			JP 2000-171923	20000608
OTHER SOURCE(S):	CASREACT 136:53684; MARPAT 136:53684			

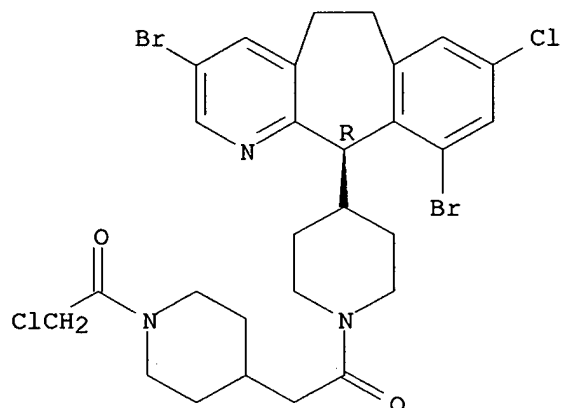
L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. (I) [wherein a, b, c, and d = N or NR<sub>9</sub>; R<sub>1</sub>, R<sub>3</sub>, and R<sub>4</sub> = halo; R<sub>2</sub> = H; R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> = independently H, CF<sub>3</sub>, COR<sub>10</sub>, (un)substituted alkyl or aryl, :O, or :S; R<sub>9</sub> = :O, Me, or (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H; R<sub>10</sub> = H, (ar)alkyl, or aryl; R<sub>11</sub> = alkyl or aryl; R<sub>12</sub> = H, (ar)alkyl, heteroarylalkyl; R<sub>13</sub> and R<sub>14</sub> = independently H, carboxy, sulfamido, acyl, (ar)alkyl, cycloalkyl, etc.; X = CH or C; A and B = independently R<sub>10</sub>, halo, OR<sub>11</sub>, OCO<sub>2</sub>R<sub>11</sub>, OC(O)R<sub>10</sub>, H<sub>2</sub>, (OR<sub>11</sub>)<sub>2</sub>, H and halo, dihalo, H and alkyl, (alkyl)<sub>2</sub>, H and OC(O)R<sub>10</sub>, H and OR<sub>10</sub>, H and aryl, :O, :NOR<sub>10</sub>, or O(CH<sub>2</sub>)<sub>p</sub>O; W = C(O)CHR<sub>12</sub>(CH<sub>2</sub>)<sub>r</sub>NR<sub>13</sub>R<sub>14</sub>; n = 1-3; p = 2-4; r = 0-2] were prepared as antitumor agents. The compds. of the invention inhibit farnesyl protein transferase (FPT) and farnesylation of the oncogene protein Ras, thereby blocking abnormal cell growth. Examples include syntheses and bioassay data for over 100 title compds. For instance, the piperidine derivative II (preparation given) underwent a sequence of: (1) acylation with N-BOC-glycine (85%); (2) N-deprotection with TFA (68%); and (3) sulfamidation with MeSO<sub>2</sub>Cl in the presence of TEA (89%), to give the title compound III. The latter inhibited farnesyl protein transferase in vitro with IC<sub>50</sub> of 5 nM and inhibited Ras processing in a COS cell-based assay with IC<sub>50</sub> of 30 nM.

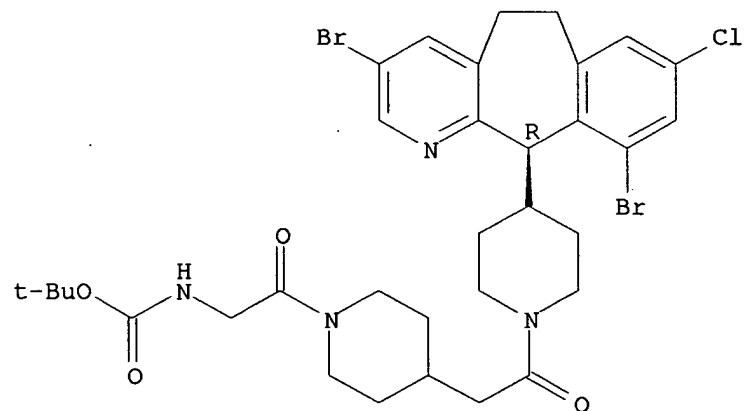
IT **210646-40-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of benzo[5,6]cyclohepta[1,2-b]pyridines as  
 farnesyl protein transferase inhibitors for the treatment of cancer)  
 RN 210646-40-5 CAPLUS  
 CN Piperidine, 1-(chloroacetyl)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-  
 dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-  
 oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **210646-05-2P 210646-06-3P 210646-07-4P**  
**210646-09-6P 210646-11-0P 210646-12-1P**  
**210646-17-6P 210646-31-4P 210646-53-0P**  
**210646-54-1P 210646-59-6P 210646-76-7P**  
**210646-77-8P 210646-80-3P 210646-94-9P**  
**259528-12-6P 259528-15-9P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
 (Reactant or reagent); USES (Uses)  
 (target compound; preparation of benzo[5,6]cyclohepta[1,2-b]pyridines as  
 farnesyl protein transferase inhibitors for the treatment of cancer)  
 RN 210646-05-2 CAPLUS  
 CN Carbamic acid, [2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-  
 benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-  
 piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

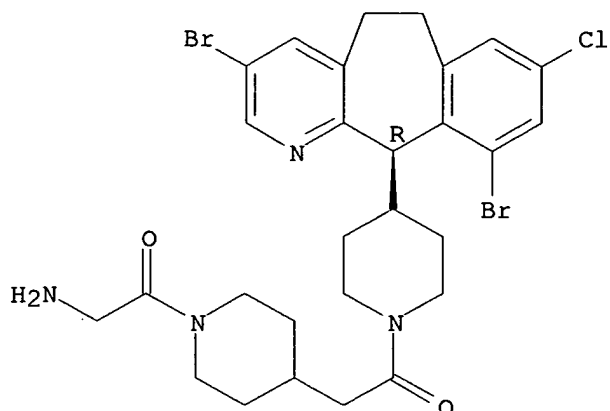
Absolute stereochemistry. Rotation (+).



RN 210646-06-3 CAPLUS

CN Piperidine, 1-(aminoacetyl)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

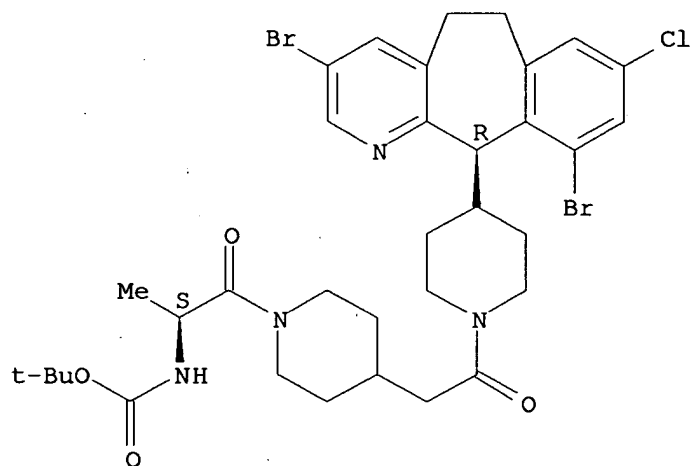
Absolute stereochemistry. Rotation (+).



RN 210646-07-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

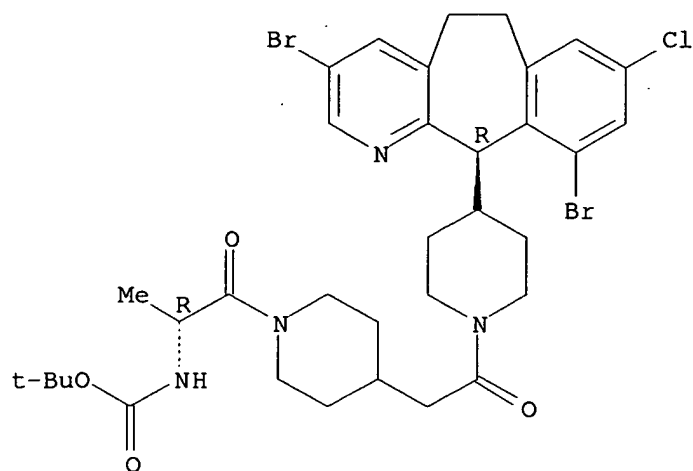
Absolute stereochemistry.



RN 210646-09-6 CAPLUS

CN Carbamic acid, [(1R)-2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

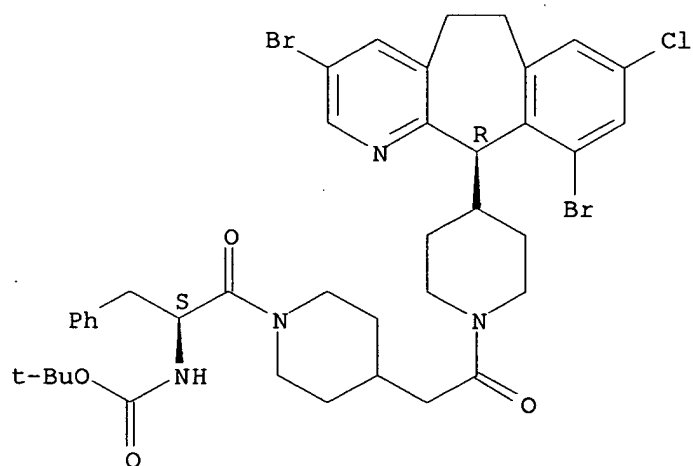
Absolute stereochemistry.



RN 210646-11-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

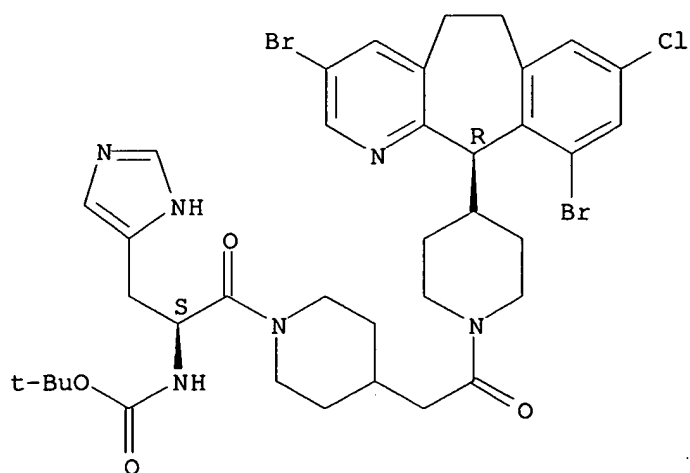
Absolute stereochemistry.



RN 210646-12-1 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

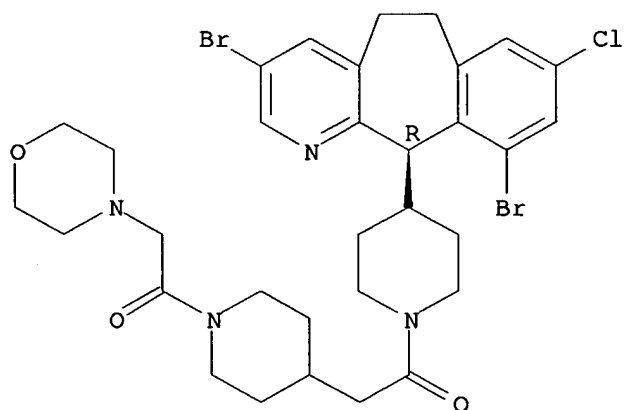
Absolute stereochemistry.



RN 210646-17-6 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(4-morpholinylacetyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

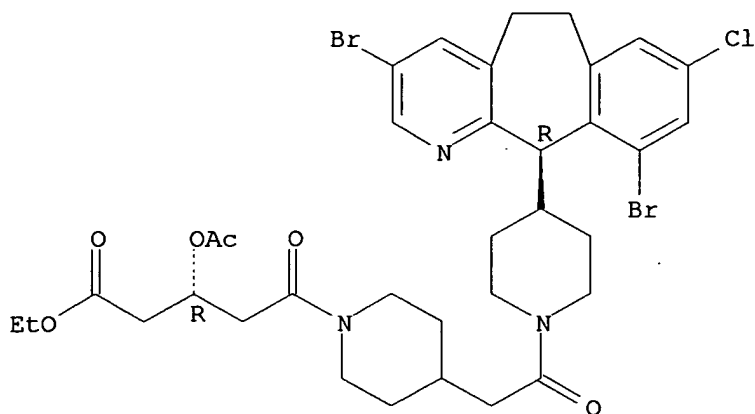


RN 210646-31-4 CAPLUS

CN 1-Piperidinepentanoic acid,  $\beta$ -(acetyloxy)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-8-oxo-, ethyl ester, ( $\beta$ R)- (9CI)- (CA INDEX NAME)

Absolute stereochemistry.

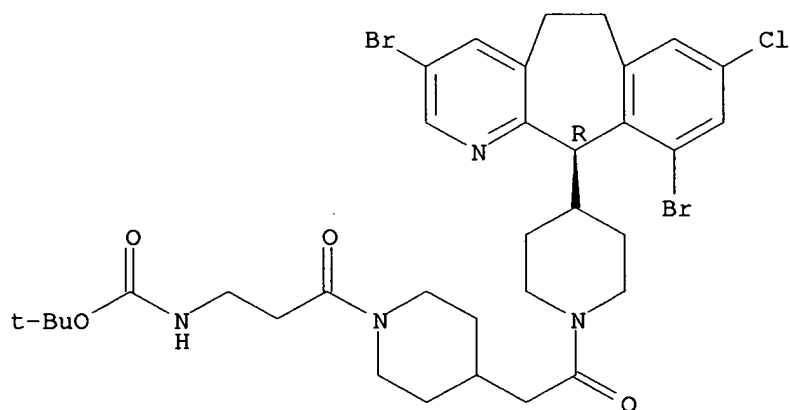




RN 210646-53-0 CAPLUS

CN Carbamic acid, [3-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

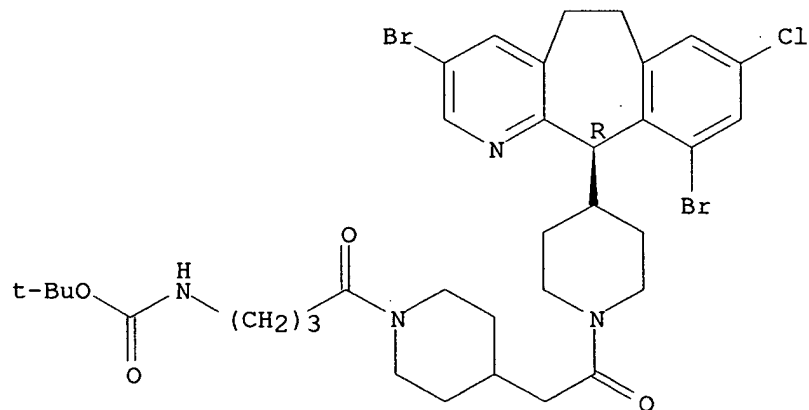
Absolute stereochemistry.



RN 210646-54-1 CAPLUS

CN Carbamic acid, [4-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

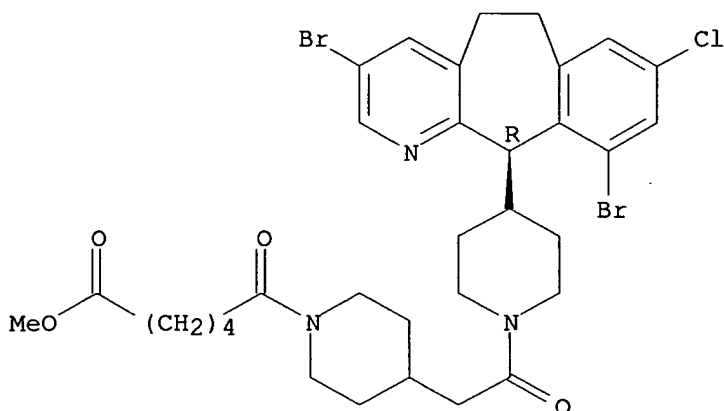
Absolute stereochemistry.



RN 210646-59-6 CAPLUS

CN 1-Piperidinehexanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-ε-oxo-, methyl ester (9CI) (CA INDEX NAME)

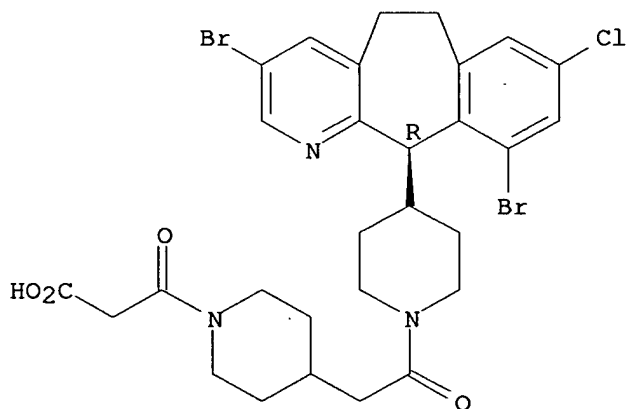
Absolute stereochemistry.



RN 210646-76-7 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-β-oxo-, lithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

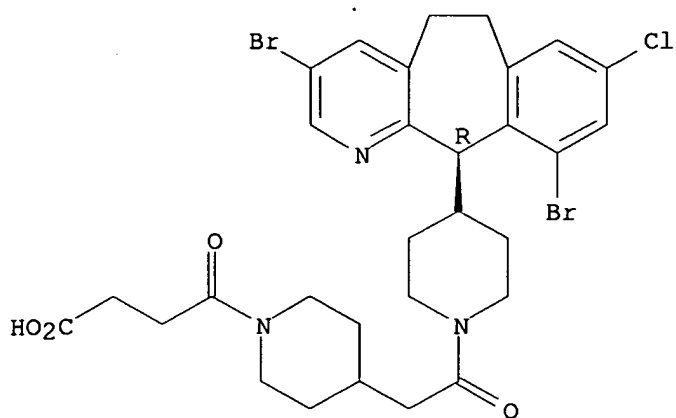


● Li

RN 210646-77-8 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-γ-oxo-, lithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

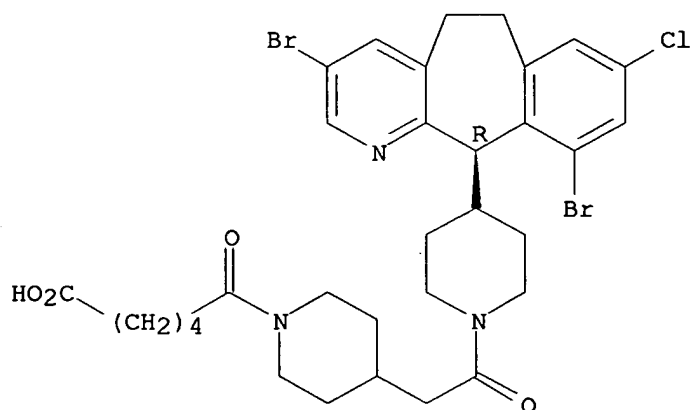


● Li

RN 210646-80-3 CAPLUS

CN 1-Piperidinehexanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-ε-oxo-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

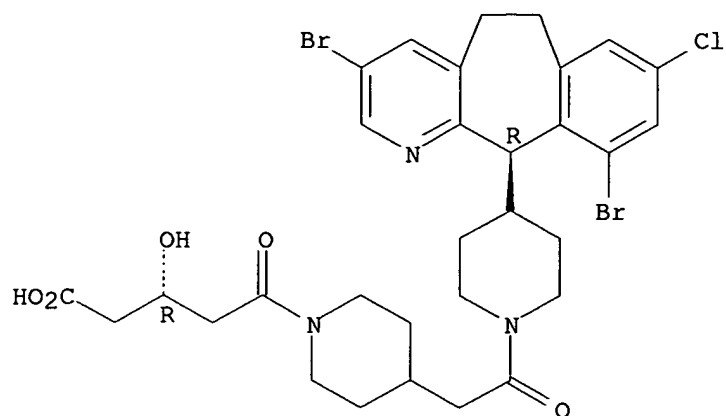


● Na

RN 210646-94-9 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-β-hydroxy-δ-oxo-, (βR)- (9CI) (CA INDEX NAME)

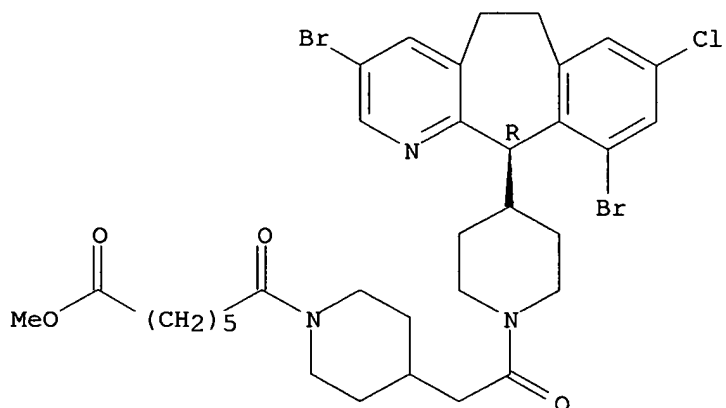
Absolute stereochemistry.



RN 259528-12-6 CAPLUS

CN 1-Piperidineheptanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-ζ-oxo-, methyl ester (9CI) (CA INDEX NAME)

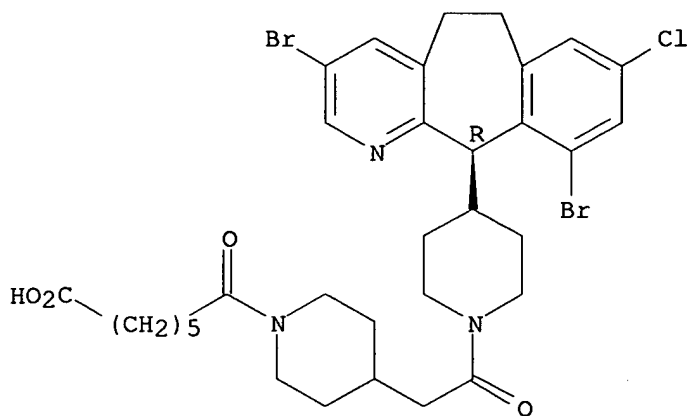
Absolute stereochemistry.



RN 259528-15-9 CAPLUS

CN 1-Piperidineheptanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-ζ-oxo-, lithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Li

IT 210646-08-5P 210646-10-9P 210646-14-3P  
 210646-15-4P 210646-18-7P 210646-19-8P  
 210646-20-1P 210646-21-2P 210646-22-3P  
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 210646-26-7P 210646-27-8P 210646-28-9P  
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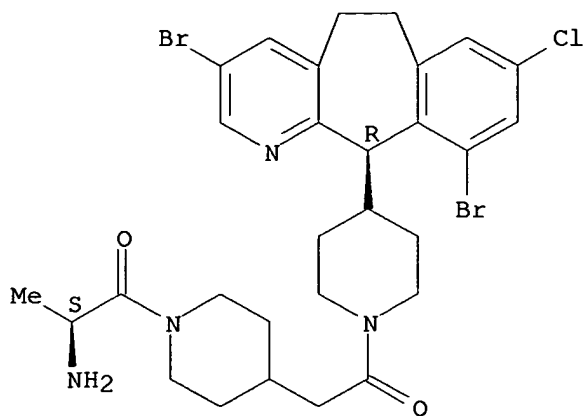
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of benzo[5,6]cyclohepta[1,2-b]pyridines as farnesyl protein transferase inhibitors for the treatment of cancer)

RN 210646-08-5 CAPLUS

CN Piperidine, 1-[(2S)-2-amino-1-oxopropyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

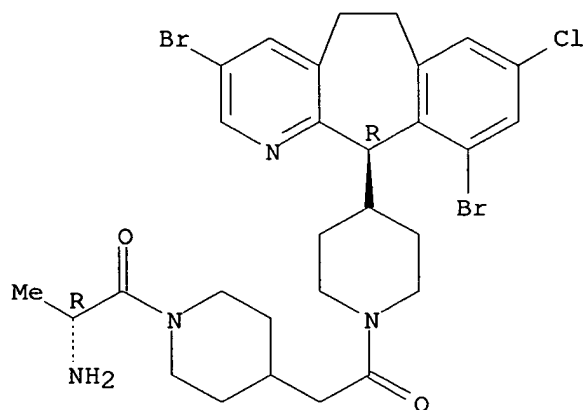
Absolute stereochemistry.



RN 210646-10-9 CAPLUS

CN Piperidine, 1-[(2R)-2-amino-1-oxopropyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

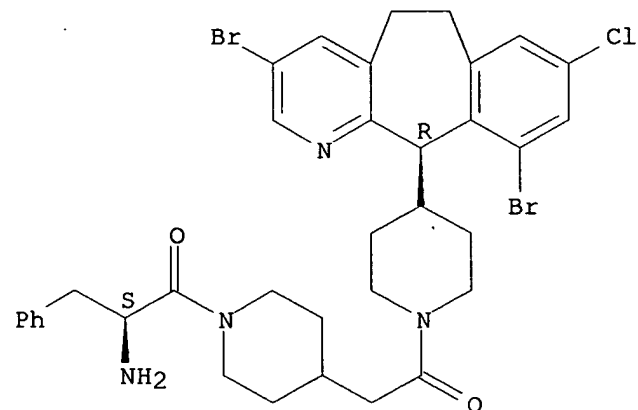
Absolute stereochemistry.



RN 210646-14-3 CAPLUS

CN Piperidine, 1-[(2S)-2-amino-1-oxo-3-phenylpropyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

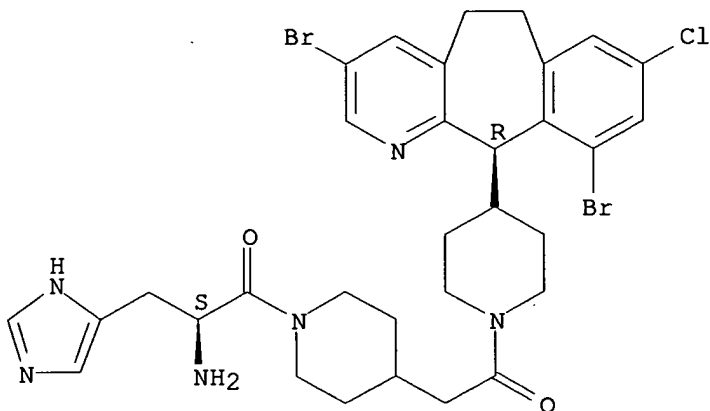
Absolute stereochemistry.



RN 210646-15-4 CAPLUS

CN Piperidine, 1-[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

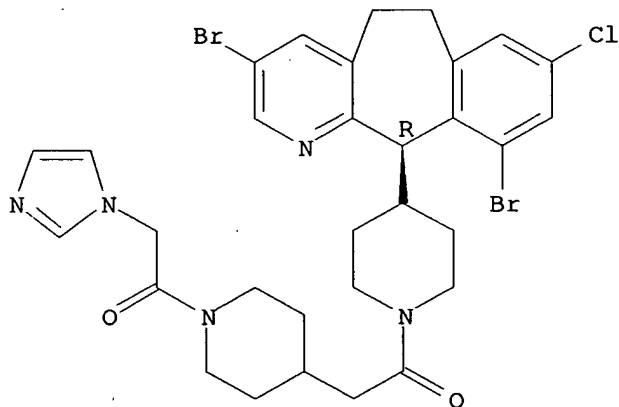
Absolute stereochemistry.



RN 210646-18-7 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(1H-imidazol-1-yl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

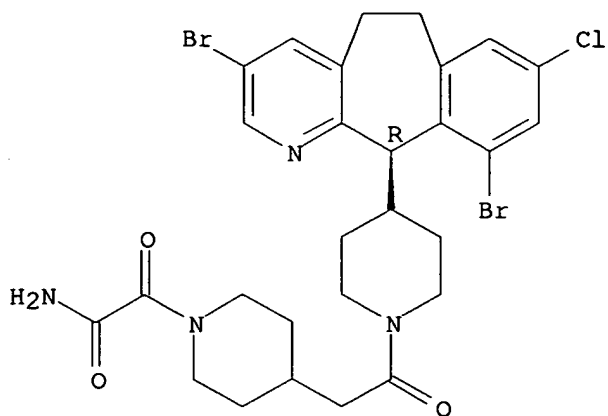
Absolute stereochemistry.



RN 210646-19-8 CAPLUS

CN 1-Piperidineacetamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\alpha$ -oxo- (9CI) (CA INDEX NAME)

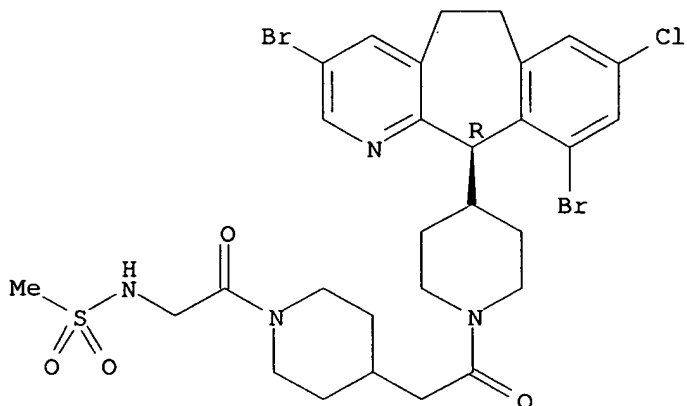
Absolute stereochemistry. Rotation (+).



RN 210646-20-1 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(methanesulfonyl)amino]acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

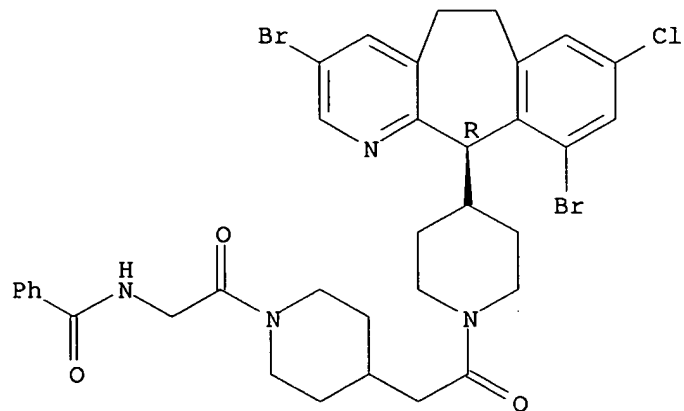
Absolute stereochemistry.



RN 210646-21-2 CAPLUS

CN Benzamide, N-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

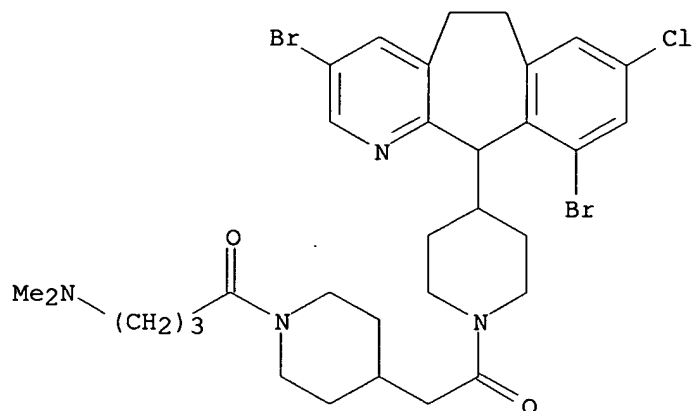
Absolute stereochemistry.





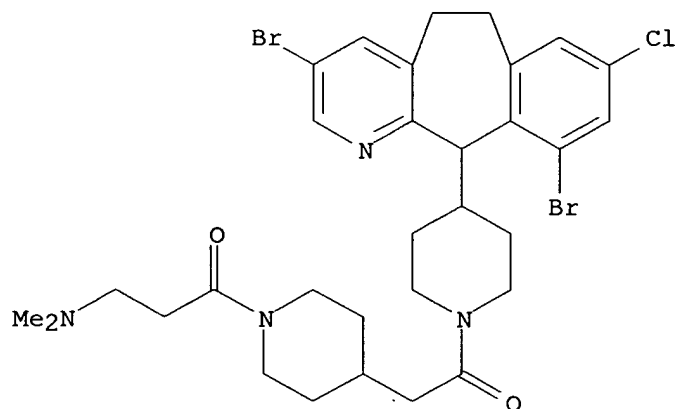
RN 210646-22-3 CAPLUS  
 CN Piperidine, 4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-  
 benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[4-(dimethylamino)-1-  
 oxobutyl]-4-piperidinyl]acetyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



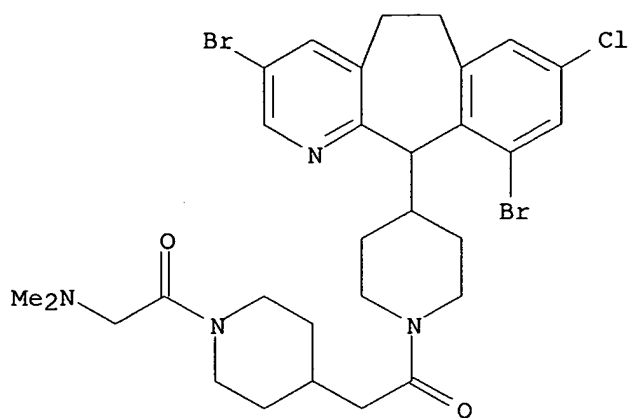
RN 210646-23-4 CAPLUS  
 CN Piperidine, 4-(3,10-dibromo-8-chloro-6,10-dihydro-5H-  
 benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[3-(dimethylamino)-1-  
 oxopropyl]-4-piperidinyl]acetyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 210646-24-5 CAPLUS  
 CN Piperidine, 4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-  
 benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[(dimethylamino)acetyl]-4-  
 piperidinyl]acetyl]-, (+)-(9CI) (CA INDEX NAME)

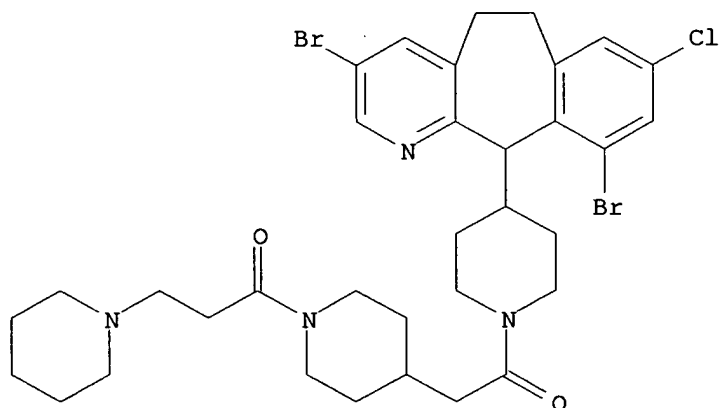
Rotation (+).



RN 210646-25-6 CAPLUS

CN Piperidine, 4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[1-oxo-3-(1-piperidinyl)propyl]-4-piperidinyl]acetyl]-, (+)- (9CI) (CA INDEX NAME)

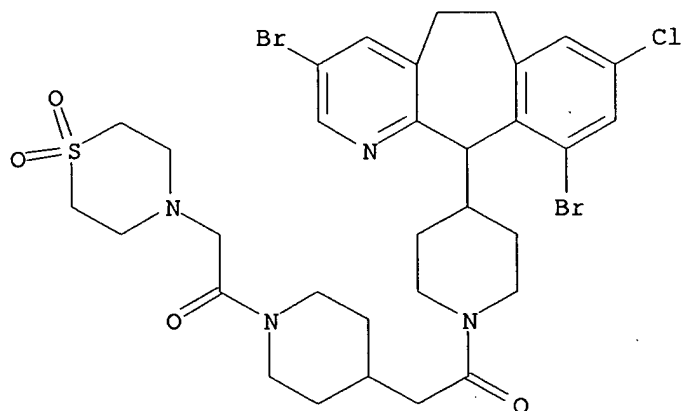
Rotation (+).



RN 210646-26-7 CAPLUS

CN Piperidine, 4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[(1,1-dioxido-4-thiomorpholinyl)acetyl]-4-piperidinyl]acetyl]-, (+)- (9CI) (CA INDEX NAME)

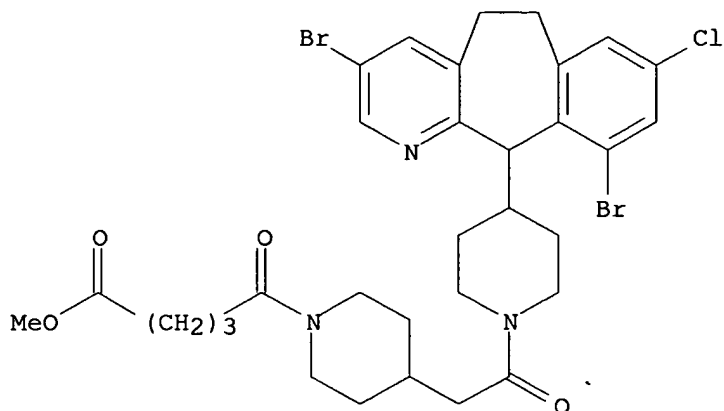
Rotation (+).



RN 210646-27-8 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-piperidinyl]-2-oxoethyl]- $\delta$ -oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

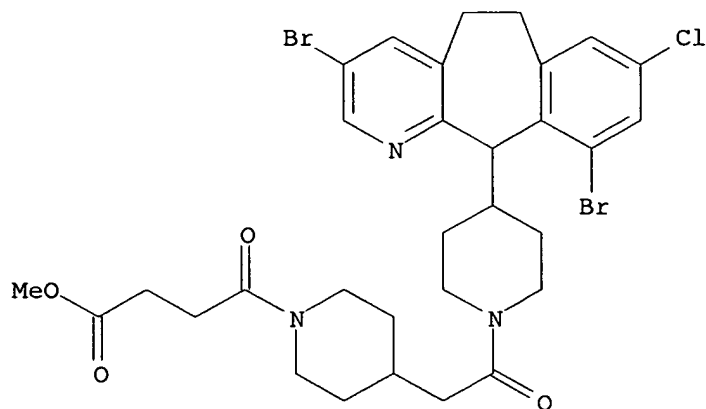
Rotation (+).



RN 210646-28-9 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-piperidinyl]-2-oxoethyl]- $\gamma$ -oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

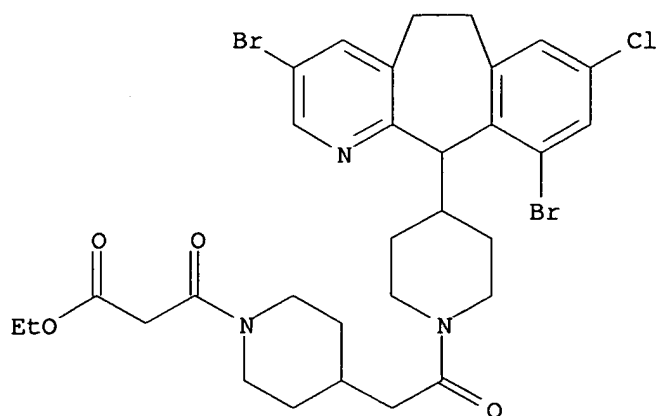
Rotation (+).



RN 210646-29-0 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[2-[4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-piperidinyl]-2-oxoethyl]- $\beta$ -oxo-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

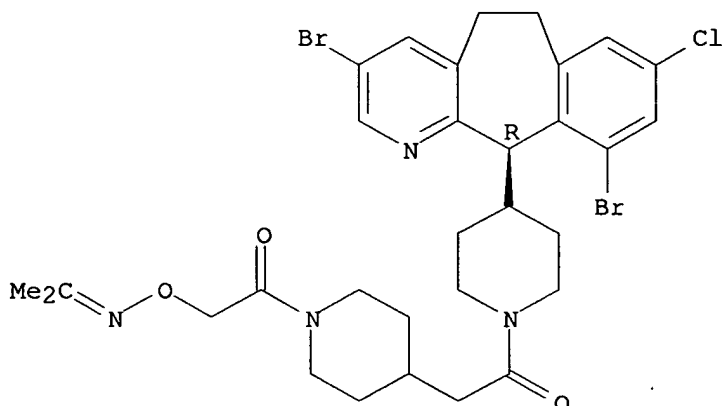
Rotation (+).



RN 210646-30-3 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[[[(1-methylethylidene)amino]oxy]acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

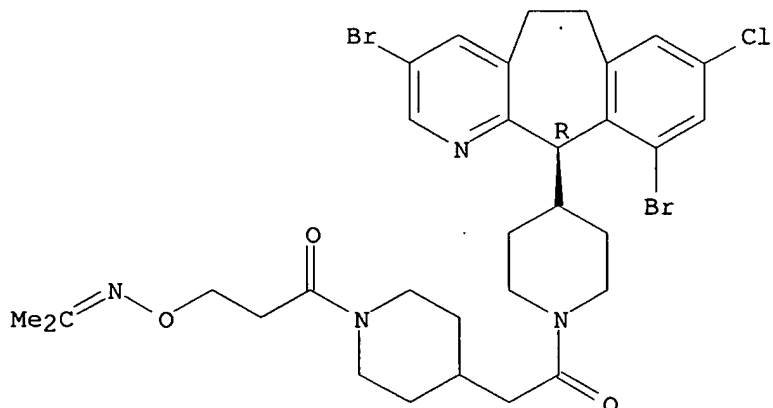
Absolute stereochemistry.



RN 210646-33-6 CAPLUS

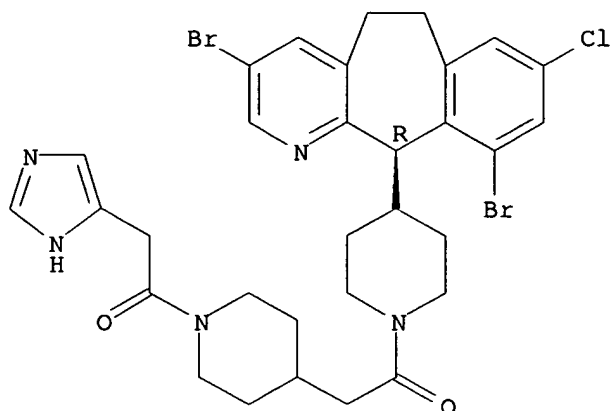
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[3-[[[(1-methylethylidene)amino]oxy]-1-oxopropyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



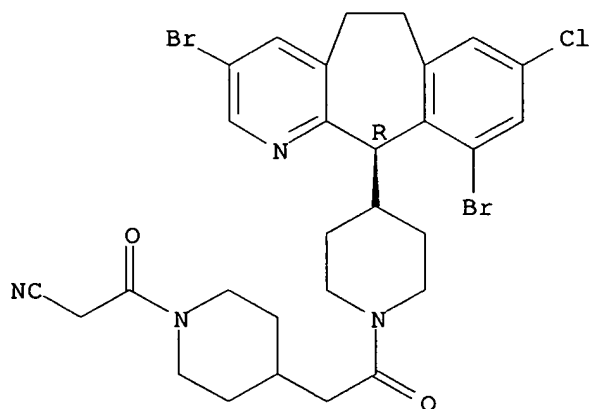
RN 210646-37-0 CAPLUS  
 CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(1H-imidazol-4-ylacetyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



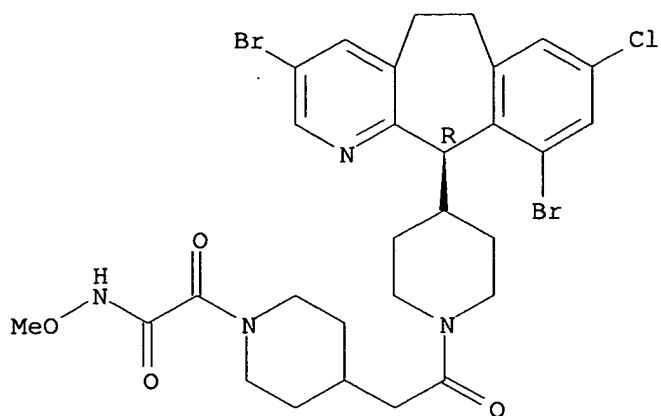
RN 210646-41-6 CAPLUS  
 CN Piperidine, 1-(cyanoacetyl)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 210646-42-7 CAPLUS  
 CN 1-Piperidineacetamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-N-methoxy- $\alpha$ -oxo- (9CI) (CA INDEX NAME)

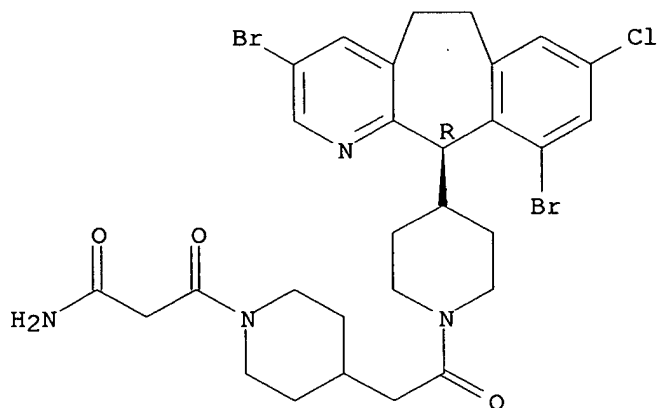
Absolute stereochemistry.



RN 210646-43-8 CAPLUS

CN 1-Piperidinepropanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\beta$ -oxo- (9CI) (CA INDEX NAME)

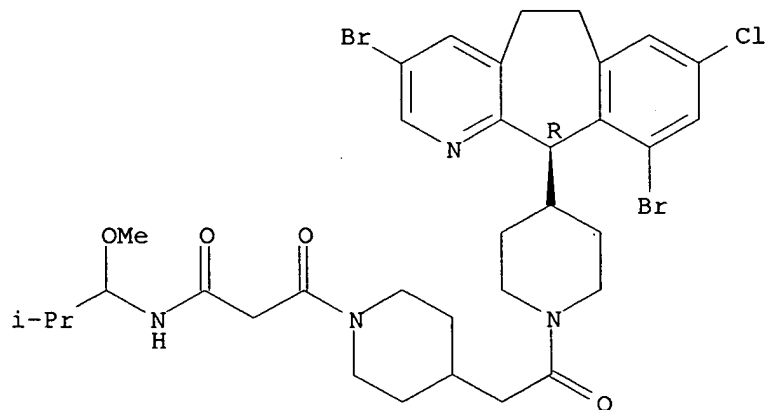
Absolute stereochemistry.



RN 210646-44-9 CAPLUS

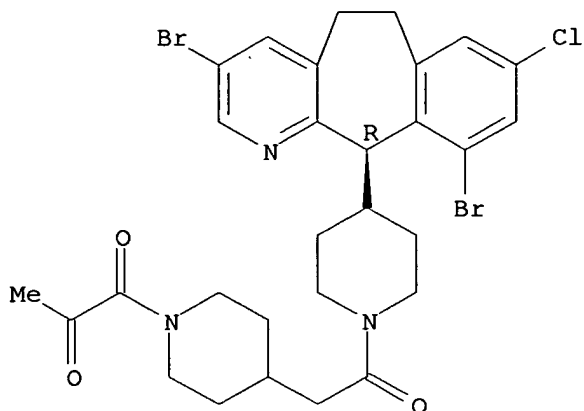
CN 1-Piperidinepropanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-N-(1-methoxy-2-methylpropyl)- $\beta$ -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



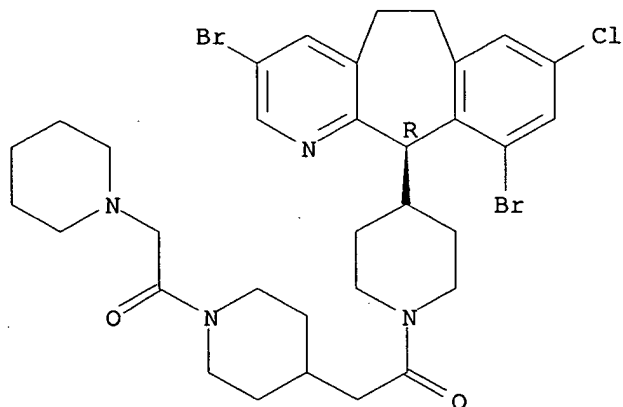
RN 210646-45-0 CAPLUS  
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(1,2-dioxopropyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



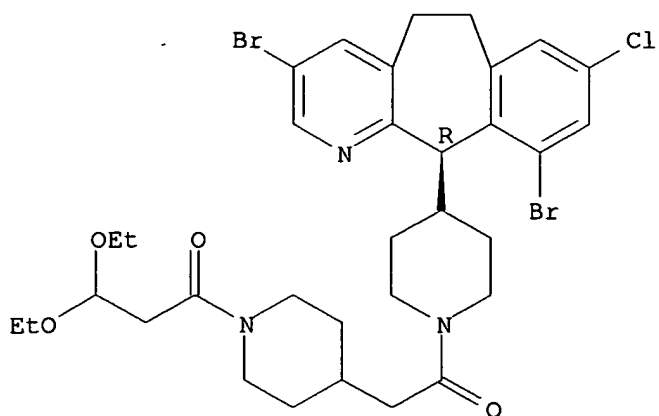
RN 210646-46-1 CAPLUS  
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(1-piperidinylacetyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210646-47-2 CAPLUS  
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(3,3-diethoxy-1-oxopropyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

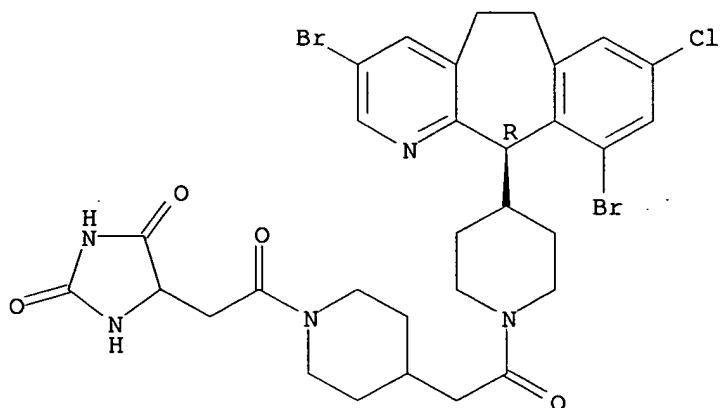
Absolute stereochemistry.



RN 210646-48-3 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,10-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(2,4-dioxo-4-imidazolidinyl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

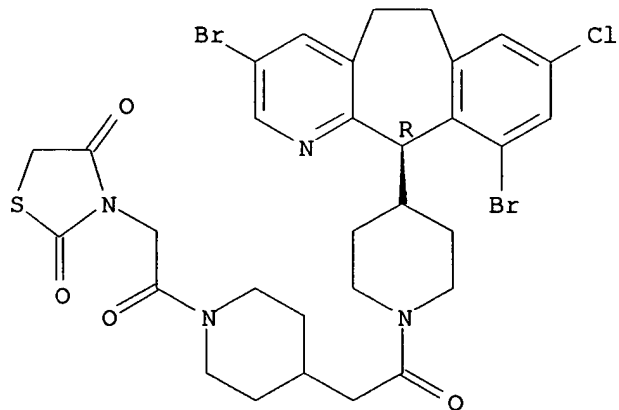
Absolute stereochemistry.



RN 210646-49-4 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,10-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(2,5-dioxo-3-thiazolidinyl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

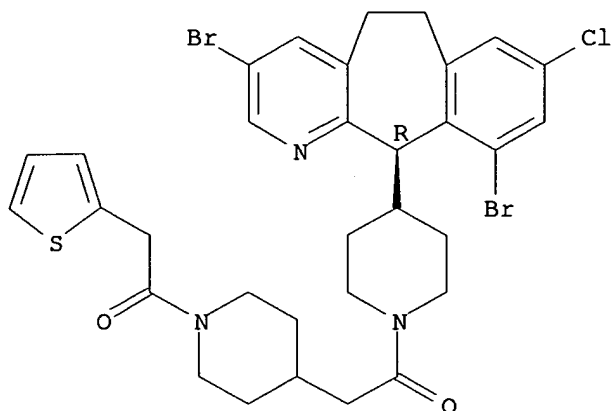




RN 210646-51-8 CAPLUS

CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-(2-thienylacetyl)- (9CI) (CA INDEX NAME)

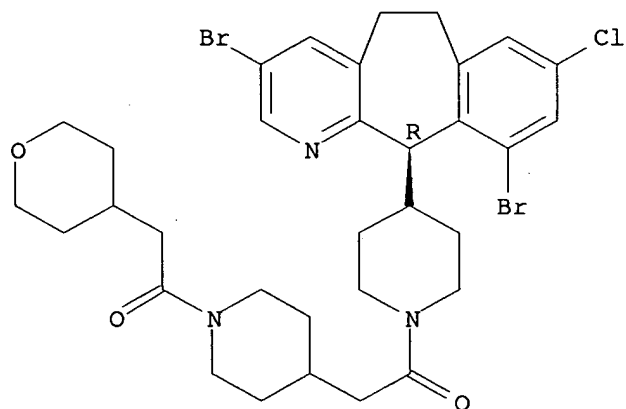
Absolute stereochemistry.



RN 210646-52-9 CAPLUS

CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-[(tetrahydro-2H-pyran-4-yl)acetyl]- (9CI) (CA INDEX NAME)

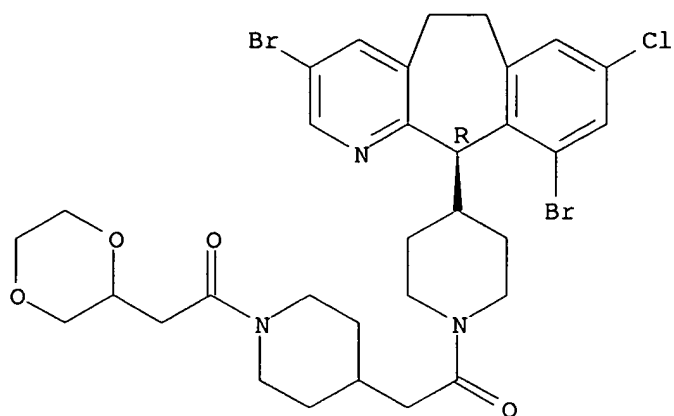
Absolute stereochemistry.



RN 210646-56-3 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(1,4-dioxan-2-ylacetyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

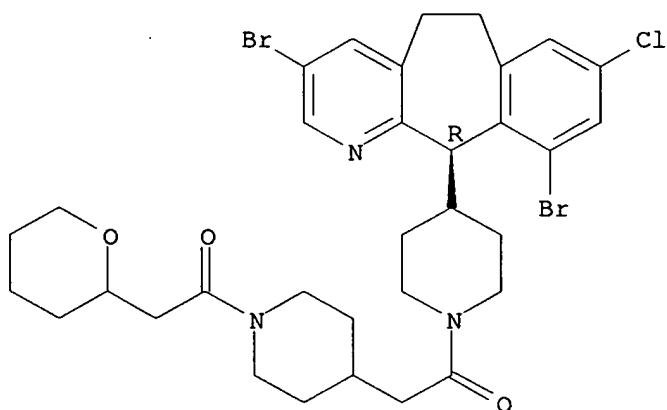
Absolute stereochemistry.



RN 210646-57-4 CAPLUS

CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-[(tetrahydro-2H-pyran-2-yl)acetyl]- (9CI) (CA INDEX NAME)

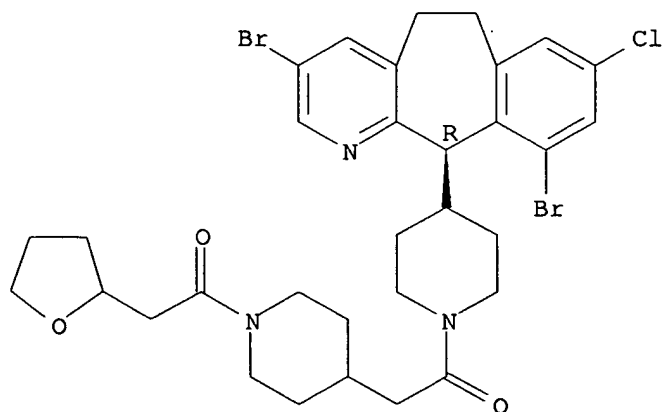
Absolute stereochemistry.



RN 210646-58-5 CAPLUS

CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-[(tetrahydro-2-furanyl)acetyl]- (9CI) (CA INDEX NAME)

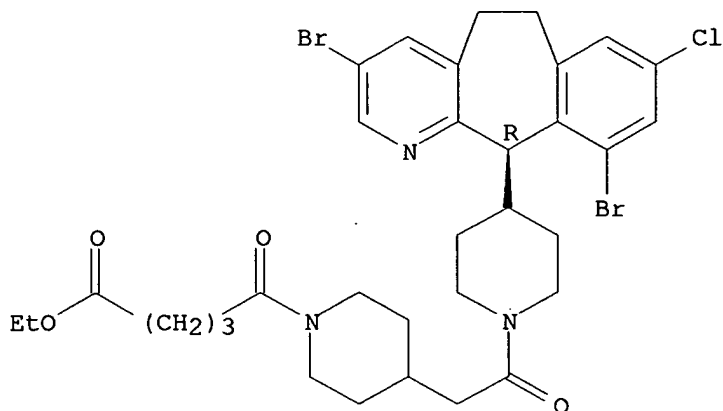
Absolute stereochemistry.



RN 210646-60-9 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-8-oxo-, ethyl ester (9CI) (CA INDEX NAME)

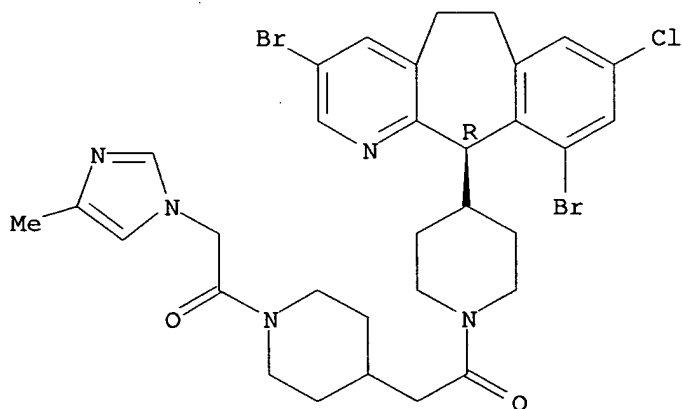
Absolute stereochemistry.



RN 210646-62-1 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(4-methyl-1H-imidazol-1-yl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

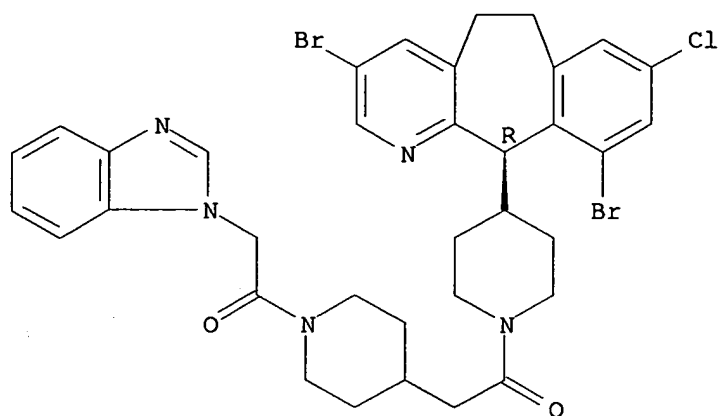
Absolute stereochemistry.



RN 210646-63-2 CAPLUS

CN Piperidine, 1-(1H-benzimidazol-1-ylacetyl)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

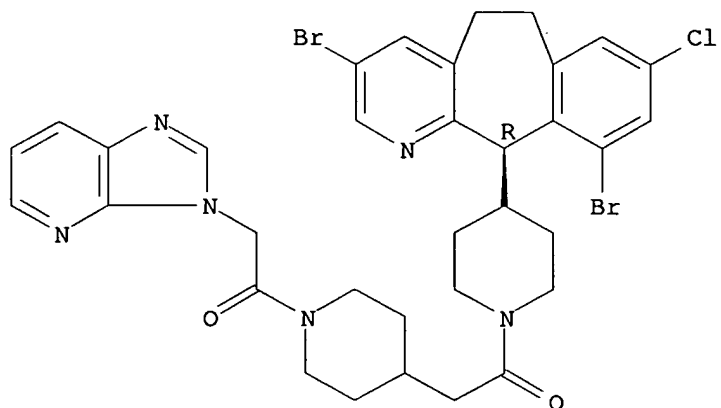
Absolute stereochemistry.



RN 210646-64-3 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(1H-imidazo[4,5-b]pyridin-1-yl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

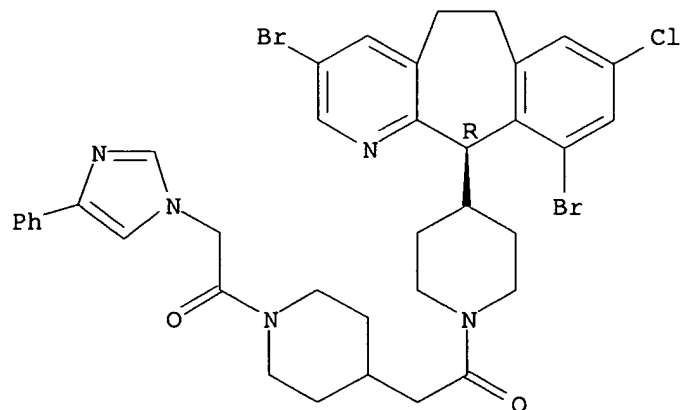
Absolute stereochemistry.



RN 210646-65-4 CAPLUS

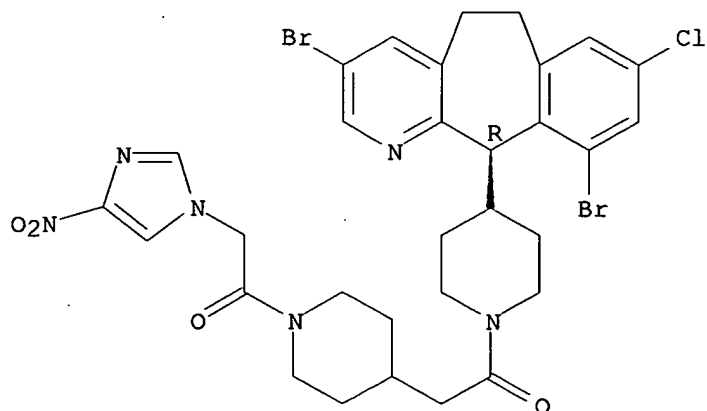
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(4-phenyl-1H-imidazol-1-yl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



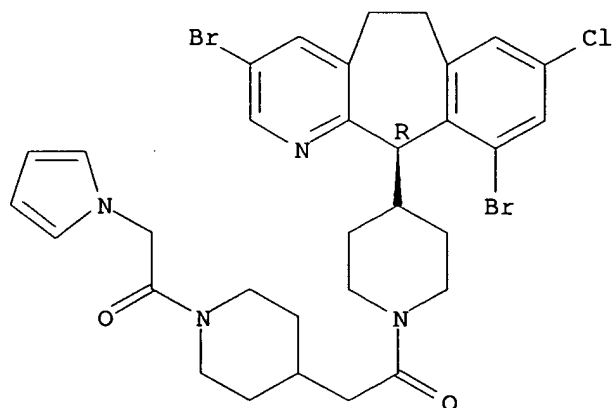
RN 210646-66-5 CAPLUS  
 CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(4-nitro-1H-imidazol-1-yl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



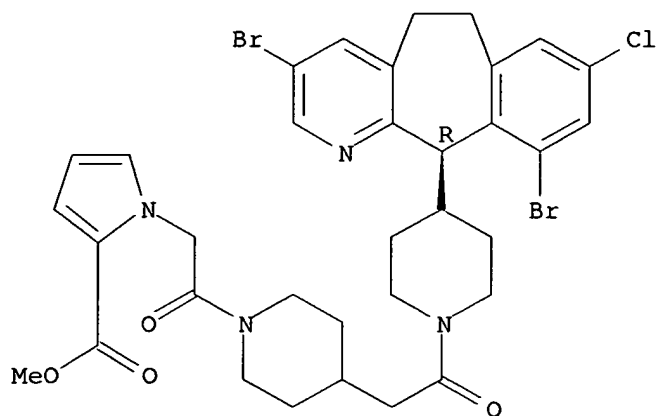
RN 210646-67-6 CAPLUS  
 CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-(1H-pyrrol-1-ylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 210646-68-7 CAPLUS  
 CN 1H-Pyrrole-2-carboxylic acid, 1-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

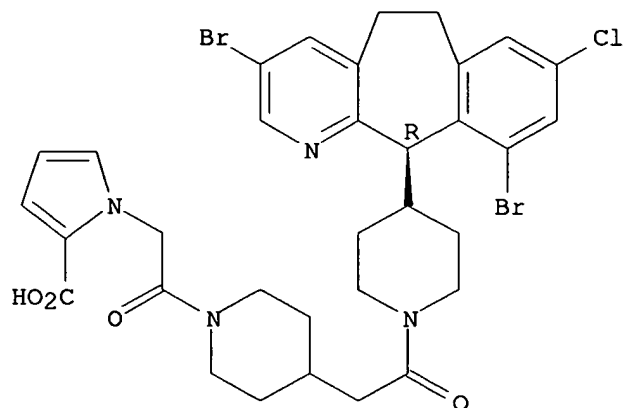
Absolute stereochemistry.



RN 210646-69-8 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

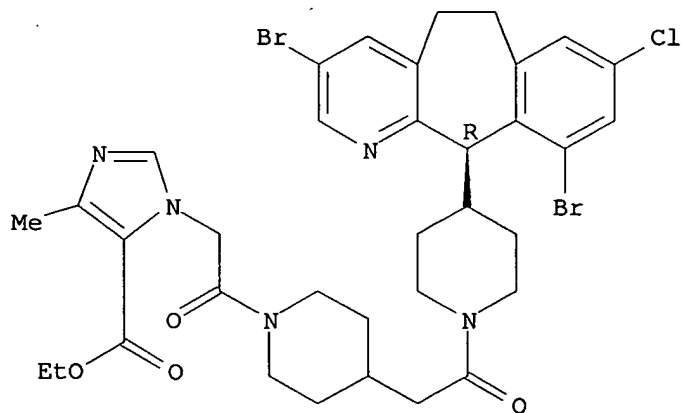
Absolute stereochemistry.



RN 210646-70-1 CAPLUS

CN 1H-Imidazole-5-carboxylic acid, 1-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)

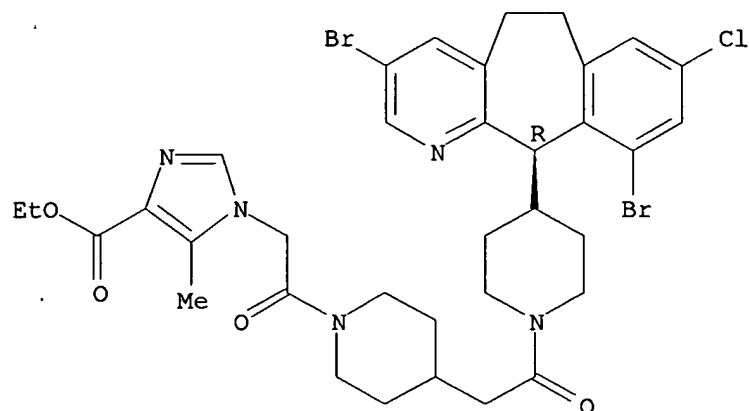
Absolute stereochemistry.



RN 210646-71-2 CAPLUS

CN 1H-Imidazole-4-carboxylic acid, 1-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

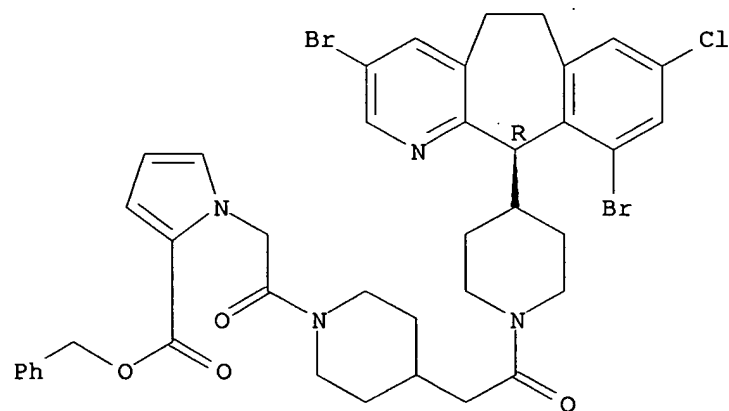
Absolute stereochemistry.



RN 210646-72-3 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

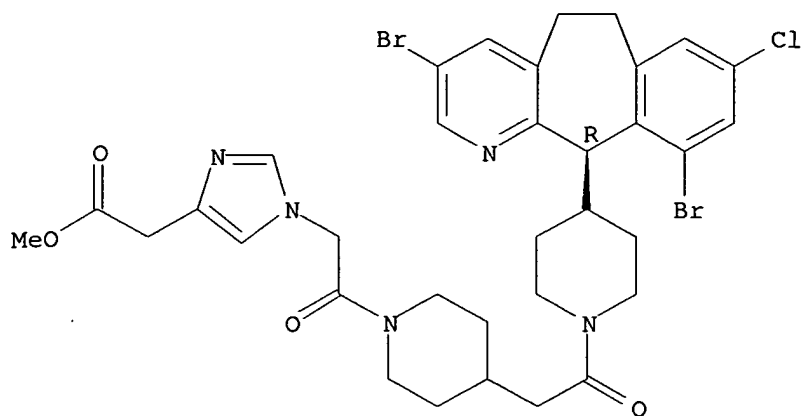
Absolute stereochemistry.



RN 210646-73-4 CAPLUS

CN 1H-Imidazole-4-acetic acid, 1-[2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

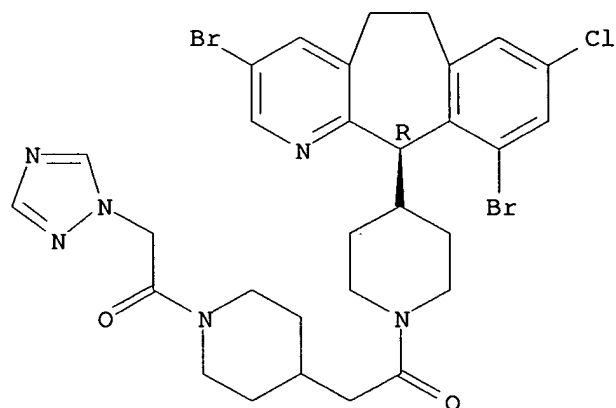
Absolute stereochemistry.



RN 210646-74-5 CAPLUS

CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-(1H-1,2,4-triazol-1-ylacetyl)- (9CI) (CA INDEX NAME)

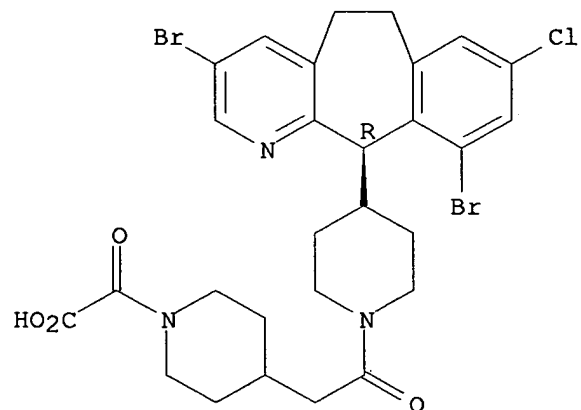
Absolute stereochemistry. Rotation (+).



RN 210646-75-6 CAPLUS

CN 1-Piperidineacetic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\alpha$ -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





1-Piperidinepentanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-8-oxo-, lithium salt (9CI) (CA INDEX NAME)

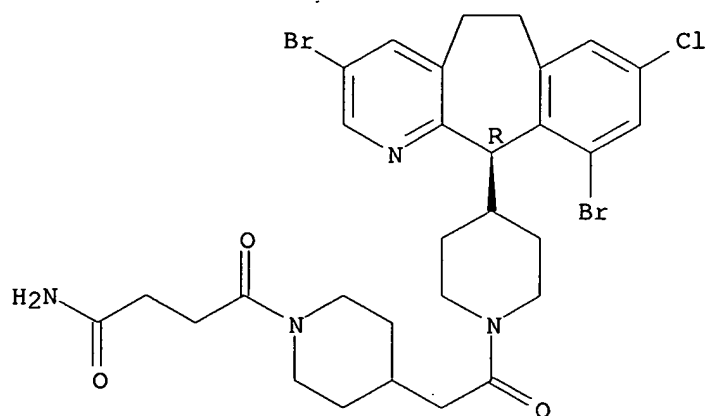
[illegible]

CN 1-Piperidinehexanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-ε-oxo- (9CI) (CA INDEX NAME)

Chemical structure of compound 10, a 1,4-bis(piperidin-2-yl)-1,4-bis(2-oxoethyl)piperazine derivative. The structure features a central piperazine ring substituted with two 2-oxoethyl groups and two piperidin-2-yl groups. One piperidine ring is further substituted with a 2-bromo-5-chlorophenyl group, and the other with a 2-bromophenyl group.

CN 1-Piperidinebutanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-  
γ-oxo- (9CI) (CA INDEX NAME)

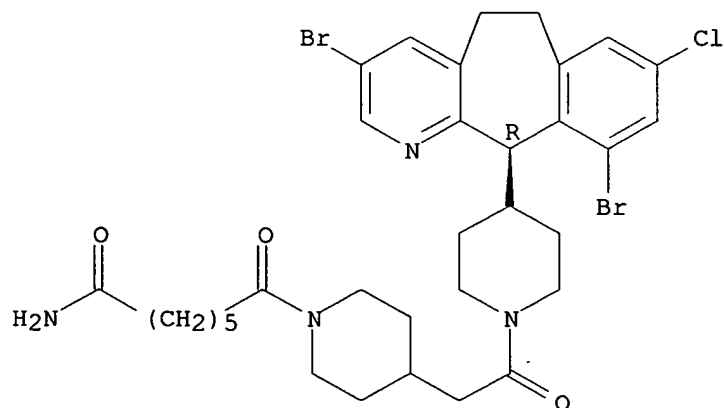
Absolute stereochemistry.



RN 210646-83-6 CAPLUS

CN 1-Piperidineheptanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-5-oxo- (9CI) (CA INDEX NAME)

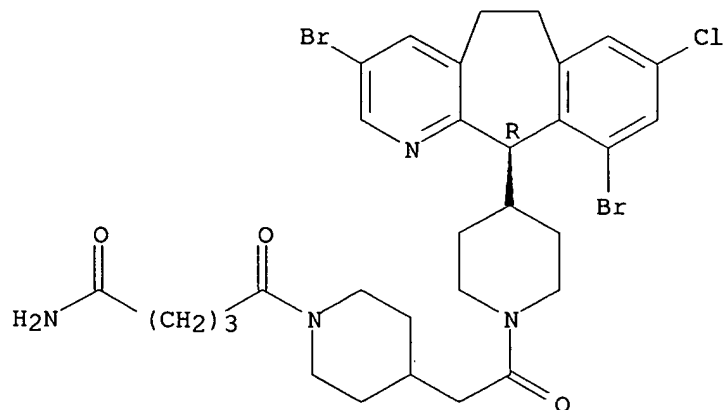
Absolute stereochemistry.



RN 210646-84-7 CAPLUS

CN 1-Piperidinepentanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-8-oxo- (9CI) (CA INDEX NAME)

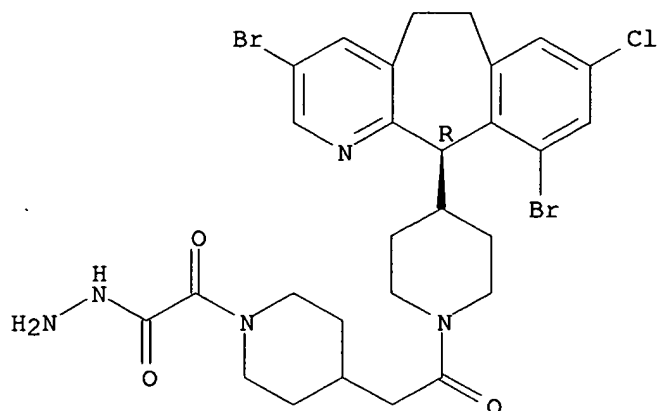
Absolute stereochemistry.



RN 210646-85-8 CAPLUS

CN 1-Piperidineacetic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\alpha$ -oxo-, hydrazide (9CI) (CA INDEX NAME)

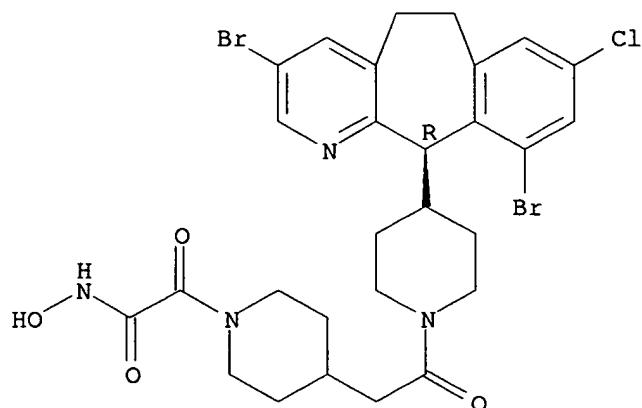
Absolute stereochemistry.



RN 210646-86-9 CAPLUS

CN 1-Piperidineacetamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-N-hydroxy- $\alpha$ -oxo- (9CI) (CA INDEX NAME)

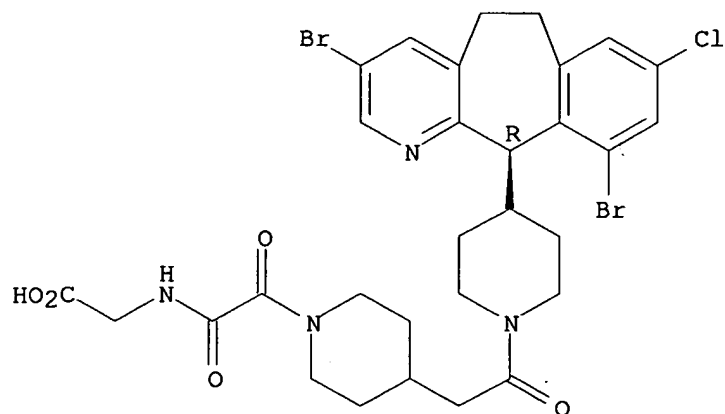
Absolute stereochemistry.



RN 210646-87-0 CAPLUS

CN Glycine, N-[[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

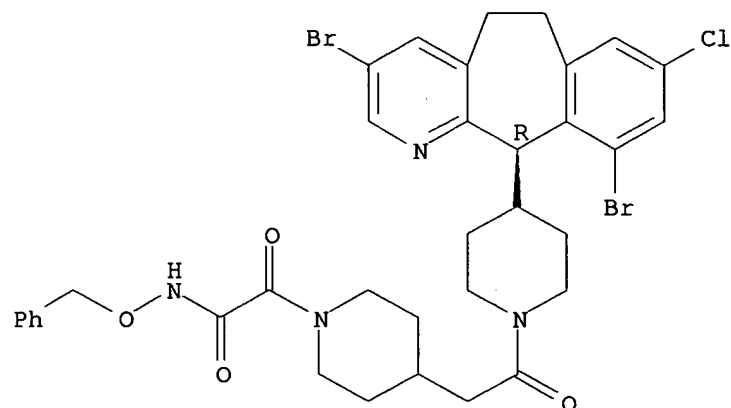
Absolute stereochemistry.



RN 210646-88-1 CAPLUS

CN 1-Piperidineacetamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\alpha$ -oxo-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

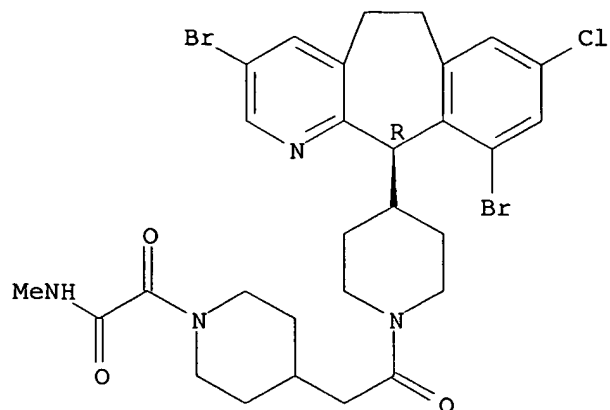
Absolute stereochemistry.



RN 210646-89-2 CAPLUS

CN 1-Piperidineacetamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-N-methyl- $\alpha$ -oxo- (9CI) (CA INDEX NAME)

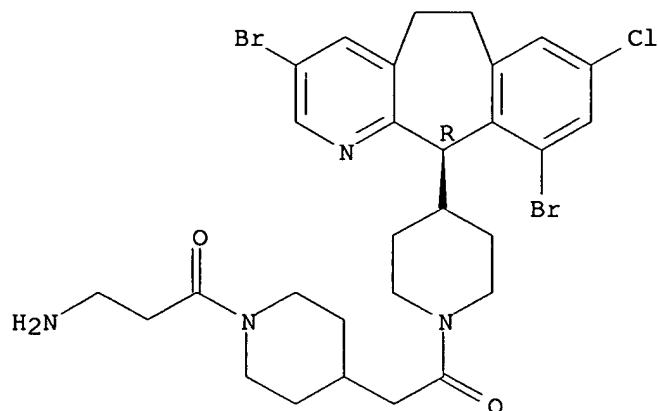
Absolute stereochemistry.



RN 210646-90-5 CAPLUS

CN Piperidine, 1-(3-amino-1-oxopropyl)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

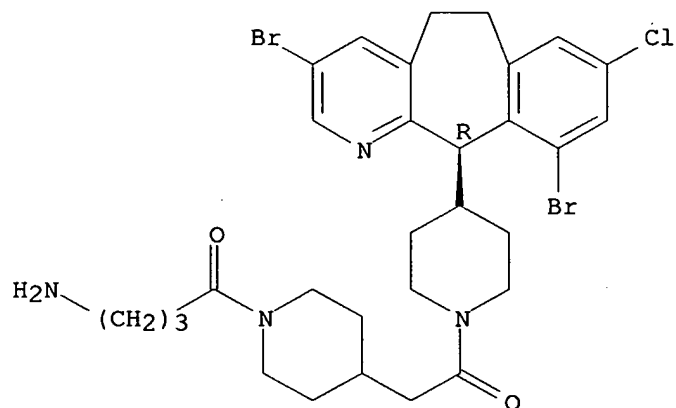
Absolute stereochemistry.



RN 210646-91-6 CAPLUS

CN Piperidine, 1-(4-amino-1-oxobutyl)-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

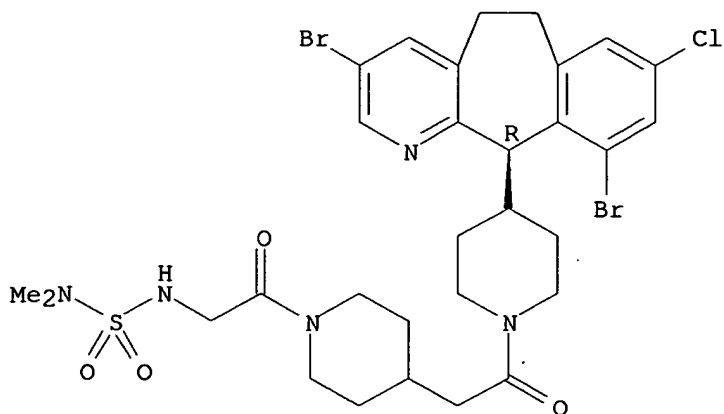
Absolute stereochemistry.



RN 210646-92-7 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[[[(dimethylamino)sulfonyl]amino]acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

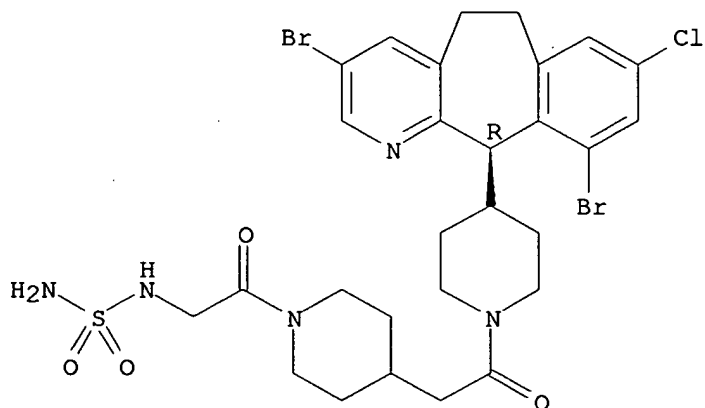
Absolute stereochemistry.



RN 210646-93-8 CAPLUS

CN Piperidine, 1-[[ (aminosulfonyl)amino]acetyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

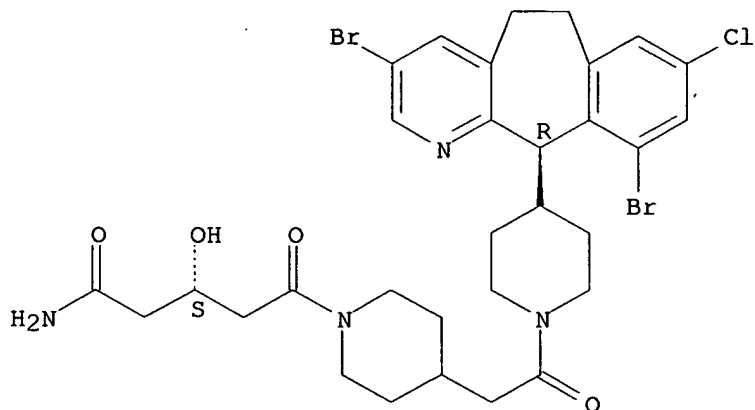
Absolute stereochemistry.



RN 210646-96-1 CAPLUS

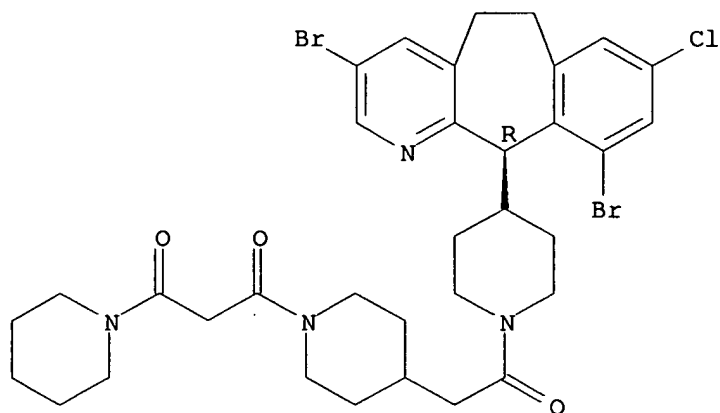
CN 1-Piperidinepentanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-β-hydroxy-δ-oxo-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



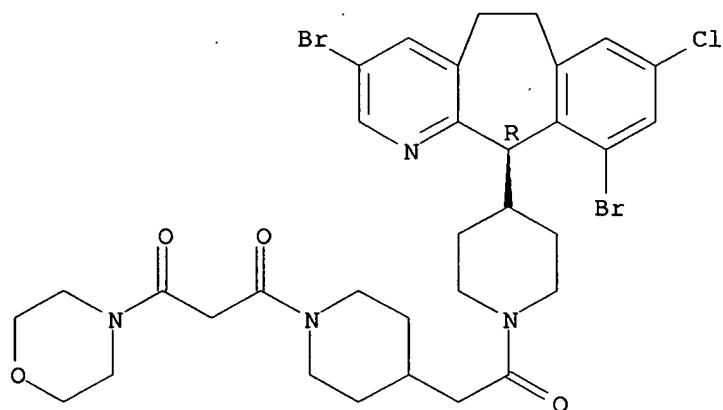
RN 210646-98-3 CAPLUS  
 CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[1,3-dioxo-3-(1-piperidinyl)propyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



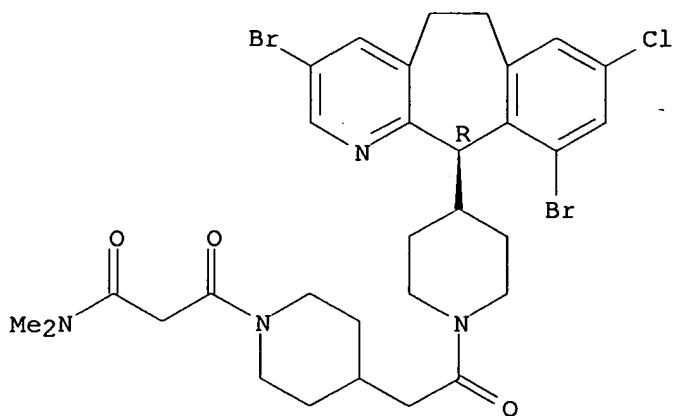
RN 210646-99-4 CAPLUS  
 CN Morpholine, 4-[3-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-1,3-dioxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210647-00-0 CAPLUS  
 CN 1-Piperidinepropanamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-N,N-dimethyl-β-oxo- (9CI) (CA INDEX NAME)

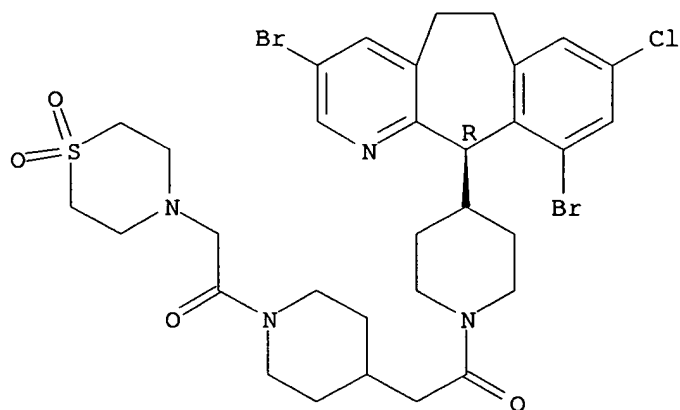
Absolute stereochemistry.



RN 210697-36-2 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(1,1-dioxido-4-thiomorpholinyl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

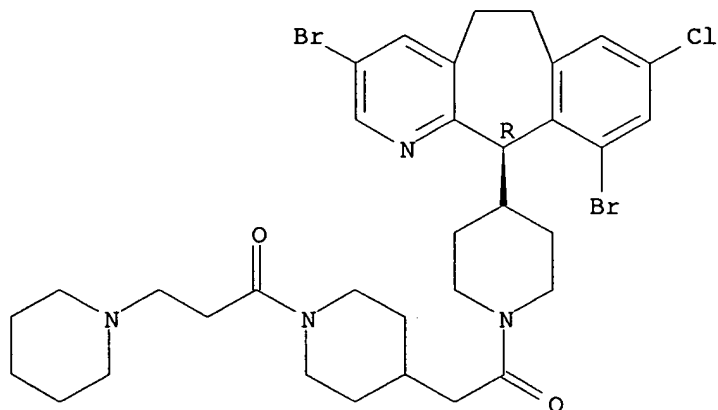
Absolute stereochemistry.



RN 210697-37-3 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(1-oxo-3-(1-piperidinyl)propyl]acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

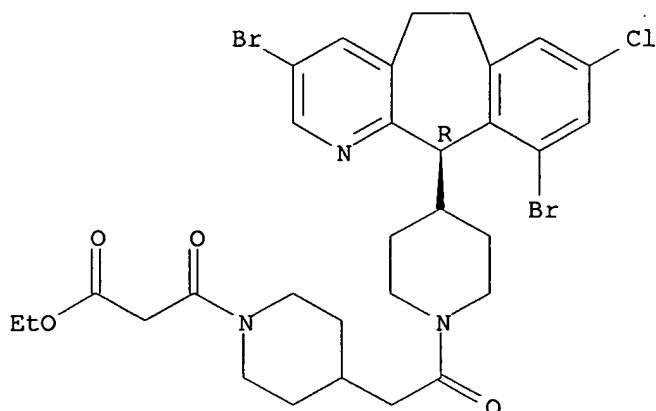




RN 210697-38-4 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\beta$ -oxo-, ethyl ester (9CI) (CA INDEX NAME)

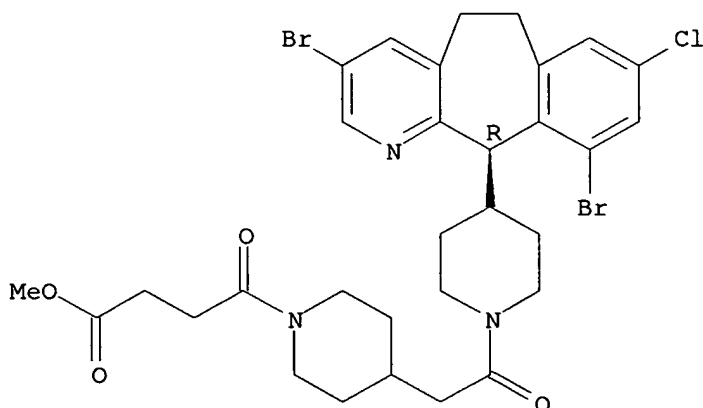
Absolute stereochemistry.



RN 210697-39-5 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- $\gamma$ -oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

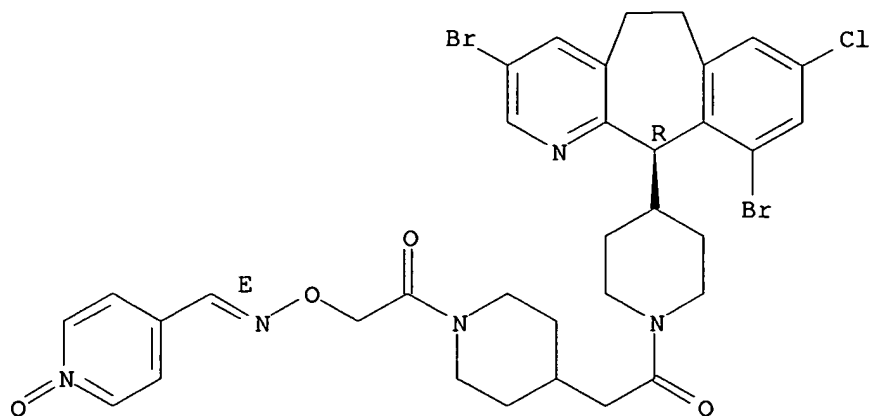


RN 259528-10-4 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[[[(E)-[(1-oxido-4-pyridinyl)methylene]amino]oxy]acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

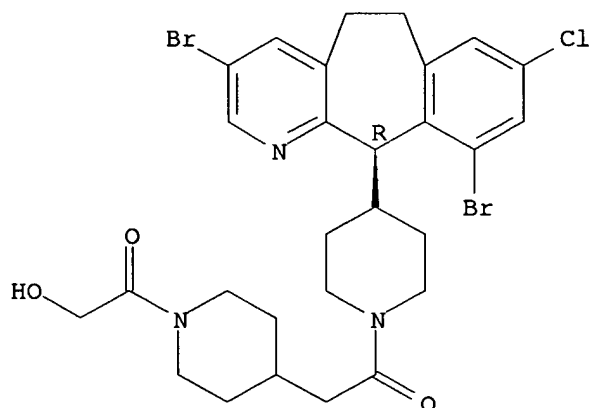
Double bond geometry as shown.



RN 259528-11-5 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-(hydroxyacetyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

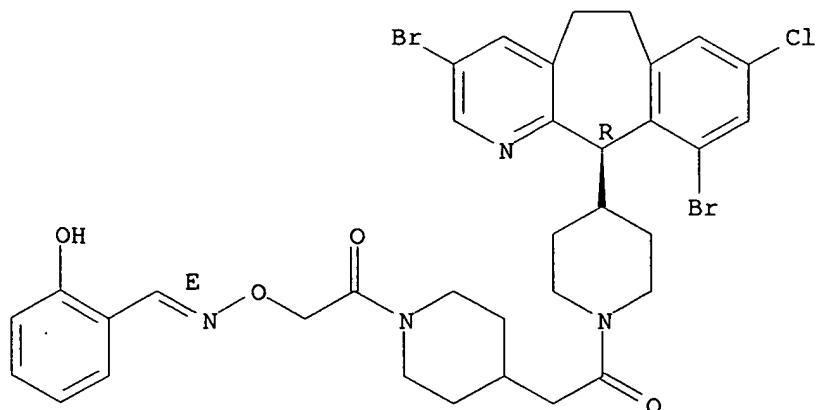


RN 259528-13-7 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[[[(E)-[(2-hydroxyphenyl)methylene]amino]oxy]acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

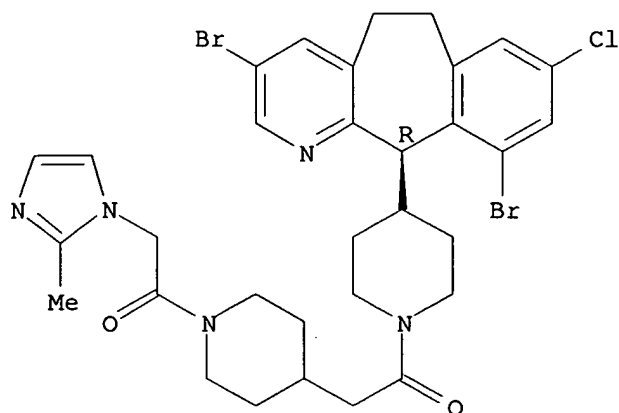
Double bond geometry as shown.



RN 259528-14-8 CAPLUS

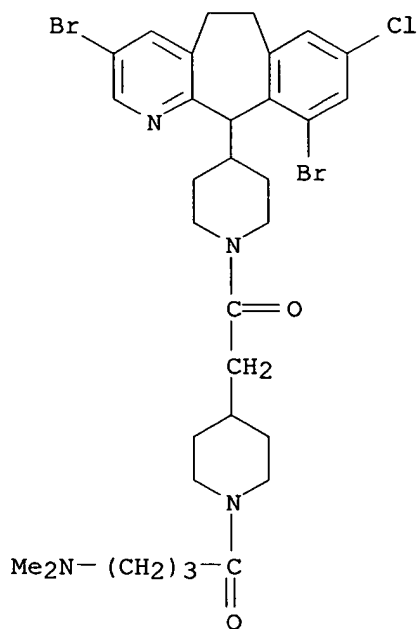
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(2-methyl-1H-imidazol-1-yl)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



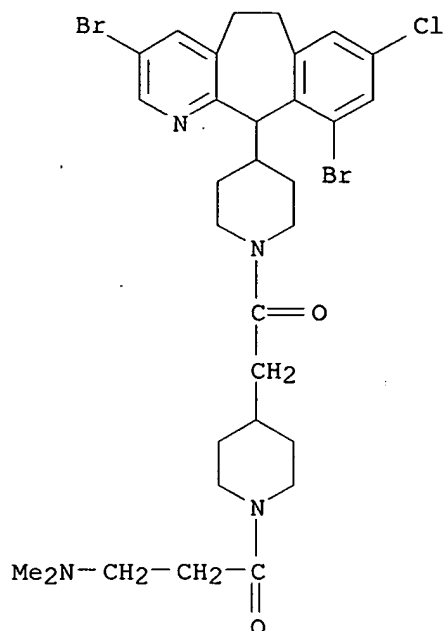
RN 259528-17-1 CAPLUS

CN Piperidine, 4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[4-(dimethylamino)-1-oxobutyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 259528-18-2 CAPLUS

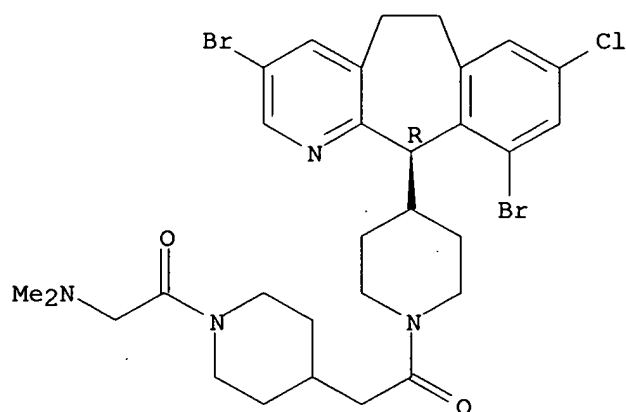
CN Piperidine, 4-(3,10-dibromo-8-chloro-6,10-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[3-(dimethylamino)-1-oxopropyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 259539-20-3 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[1-[(dimethylamino)acetyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2000:142525 CAPLUS

DOCUMENT NUMBER: 132:180486

TITLE: Preparation of benzo[5,6]cyclohepta[1,2-b]pyridines for the inhibition of farnesyl protein transferase

INVENTOR(S): Njoroge, F. George; Taveras, Arthur G.; Doll, Ronald J.; Lalwani, Tarik; Alvarez, Carmen; Remiszewski, Stacy W.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 73 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6030982	A	20000229	US 1997-927731	19970911
US 6040305	A	20000321	US 1997-927470	19970911
US 6228856	B1	20010508	US 1999-417885	19991014
US 2002019400	A1	20020214	US 2001-797081	20010301
US 6387905	B2	20020514		

PRIORITY APPLN. INFO.:

US 1996-25249P	P	19960913
US 1997-50009P	P	19970617
US 1996-26114P	P	19960913
US 1997-927731	A3	19970911
US 1999-417885	A3	19991014

OTHER SOURCE(S): MARPAT 132:180486

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds., e.g., I [W = cyano, etc.; R1 = H, halo, etc.; R3, R4 = H, halo, CF3, etc.; or R3R4 = saturated or unsatd. C5 - C7 fused ring to the benzene ring; X represents N, CH, or C, which C may contain an optional double bond (represented by the dotted line); dotted line represents an optional double bond; when such a double bond is present between the two C atoms bearing A and B, A and B independently represent R10, halo, etc.; when no such double is present, A and B each independently represent H2, (OR11)2, H and halo, dihalo, etc.; R10 = H, alkyl, etc.; R11 = alkyl, aryl ] are prepared The title compound II in vitro showed IC50 of 0.1  $\mu$ M against farnesyl protein transferase.

IT **204712-34-5P 204712-53-8P 204712-74-3P**

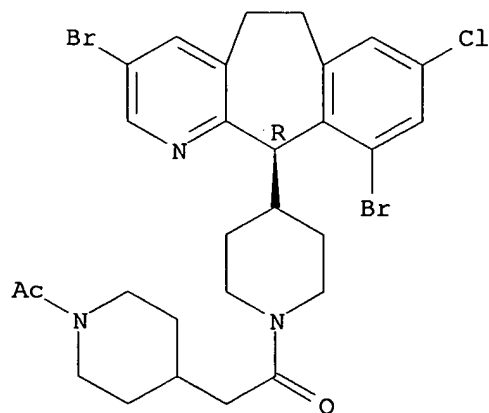
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic compds. useful for inhibition of farnesyl protein transferase)

RN 204712-34-5 CAPLUS

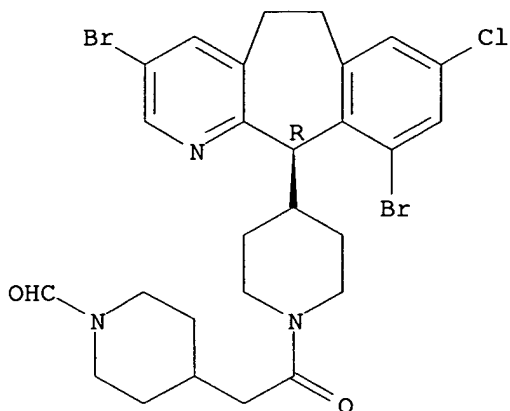
CN Piperidine, 1-acetyl-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

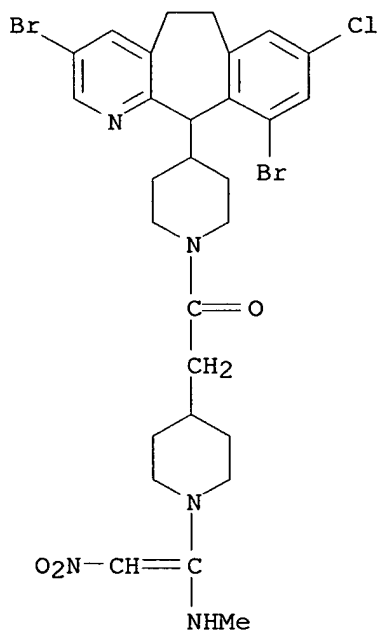


RN 204712-53-8 CAPLUS  
 CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[(1-formyl-4-piperidinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204712-74-3 CAPLUS  
 CN Piperidine, 4-(3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[[1-[1-(methylamino)-2-nitroethenyl]-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

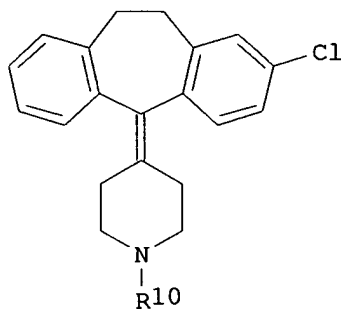
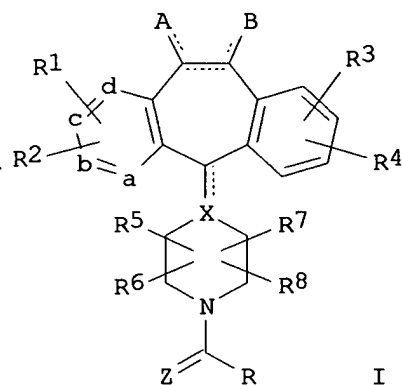


ACCESSION NUMBER:	1999:53389 CAPLUS
DOCUMENT NUMBER:	130:139358
TITLE:	Preparation and formulation of tricyclic compounds useful for inhibition of farnesyl protein transferase
INVENTOR(S):	Taveras, Arthur G.; Mallams, Alan K.; Afonso, Adriano; Remiszewski, Stacy W.; Njoroge, F. George; Doll, Ronald; Lalwani, Tarik; Alvarez, Carmen
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	U.S., 71 pp.

DOCUMENT TYPE: CODEN: USXXAM  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5861395	A	19990119	US 1997-927469	19970911
PRIORITY APPLN. INFO.:			US 1997-927469	19970911
OTHER SOURCE(S):	MARPAT 130:139358			
REFERENCE COUNT:	28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT			

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 GI

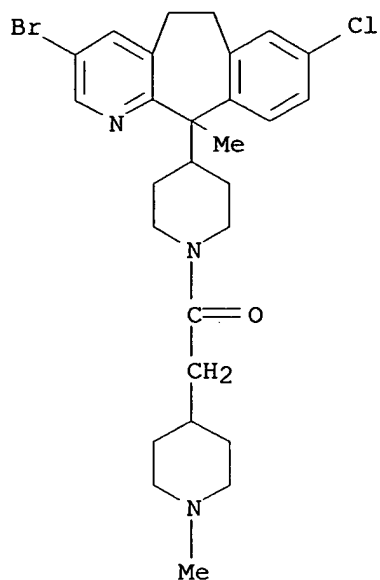


AB Title compds. [I; A,B = H<sub>2</sub>, O, halo, alkyl, alkoxy, etc.; ≤1 of a-d = N or NR<sub>9</sub> and the others = CR<sub>1</sub> or CR<sub>2</sub>; R = (hetero)aryl(methyl), etc.; R<sub>1</sub>,R<sub>2</sub> = H, halo, OH, alkoxy(carbonyl), etc.; R<sub>3</sub>,R<sub>4</sub> = groups cited for R<sub>1</sub>; R<sub>3</sub>R<sub>4</sub> = atoms to complete a ring; R<sub>5</sub>-R<sub>8</sub> = H, alkyl, aryl, etc.; R<sub>9</sub> = O, Me, (CH<sub>2</sub>)<sub>1-3</sub>CO<sub>2</sub>H; Z = O, S; dashed lines = optional bonds], capable of inhibiting Ras function and therefore inhibiting the abnormal growth of cells, were prepared Thus, benzocycloheptapyridine derivative II (R<sub>10</sub> = H) (preparation given) was amidated by pyridine-4-acetic acid to give II (R<sub>10</sub> = 4-pyridylacetyl). II (R<sub>10</sub> = 3-pyridylacetyl) gave 61.2% inhibition of mouse Lewis lung carcinoma in nu/nu mice at 100mg/kg BID for 4 wk.

IT **183198-40-5P 183481-24-5P 183481-31-4P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic amide and urea compds. for inhibition of G-protein function and treatment of proliferative diseases)

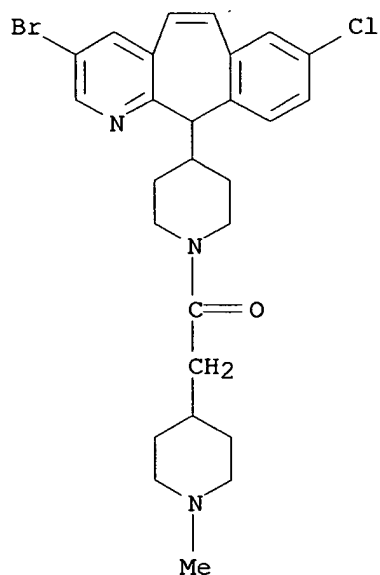
RN 183198-40-5 CAPLUS

CN Piperidine, 4-(3-bromo-8-chloro-6,11-dihydro-11-methyl-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[(1-methyl-4-piperidinyl)acetyl]- (9CI) (CA INDEX NAME)



RN 183481-24-5 CAPLUS

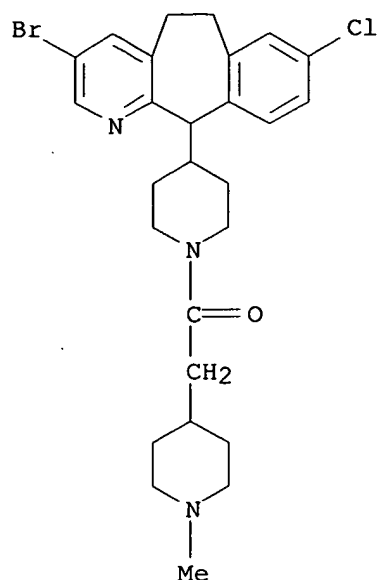
CN Piperidine, 4-(3-bromo-8-chloro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[(1-methyl-4-piperidiny]acetyl]- (9CI) (CA INDEX NAME)



RN 183481-31-4 CAPLUS

CN Piperidine, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-1-[(1-methyl-4-piperidiny]acetyl]- (9CI) (CA INDEX NAME)





ACCESSION NUMBER: 1998:146564 CAPLUS  
 DOCUMENT NUMBER: 128:180340  
 TITLE: Preparation of tricyclic amide and urea compounds for inhibition of G-protein function and treatment of proliferative diseases  
 INVENTOR(S): Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George; Petrin, Joanne M.; Piwinski, John J.; Wolin, Ronald L.; Taveras, Arthur G.; Remiszewski, Stacy W.  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: U.S., 215 pp., Cont.-in-part of U.S. Ser. No. 312,028, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5719148	A	19980217	US 1995-410187	19950324
EP 1123931	A1	20010816	EP 2001-109408	19941012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5696121	A	19971209	US 1995-450288	19950525
US 5714609	A	19980203	US 1995-450064	19950525
US 5807853	A	19980915	US 1995-450433	19950525
CA 2216160	AA	19961003	CA 1996-2216160	19960321
CA 2216160	C	20011030		
WO 9630363	A1	19961003	WO 1996-US3314	19960321
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653077	A1	19961016	AU 1996-53077	19960321
AU 714255	B2	19991223		
EP 815100	A1	19980107	EP 1996-909651	19960321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

LV, FI

JP 10505105	T2	19980519	JP 1996-529434	19960321
JP 3368905	B2	20030120		
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OTHER SOURCE(S): MARPAT 128:180340

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

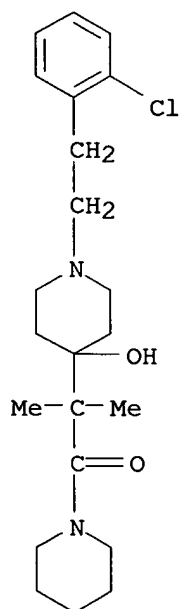
GI For diagram(s), see printed CA Issue.

AB Piperidineacetic acid derivs. I (R = H, F, R1 = alkoxy, substituted amino, X = CHMe, CHet, cyclohexylmethyl, 1,1-cycloalkanediyl etc.) and II (R3 = H, Cl) were prepared and were analgesic in the tail-flick test in mice at 1-30 mg/kg s.c. Thus treatment of EtCO2Et with 1-benzyl-4-piperidinone gave Et 2-(1-benzyl-4-hydroxy-4-piperidyl)propionate, which was debenzylated and treated with Bz(CH2)3Cl to give I (R = H, R1 = OEt, X = CHMe).

IT **55313-78-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 55313-78-5 CAPLUS

CN Piperidine, 1-[2-[1-[2-(2-chlorophenyl)ethyl]-4-hydroxy-4-piperidinyl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1975:156102 CAPLUS  
 DOCUMENT NUMBER: 82:156102  
 TITLE: 4-Hydroxy-4-piperdineacetic acid derivative analgesics  
 INVENTOR(S): Rissi, Erwin; Ebnoether, Anton  
 PATENT ASSIGNEE(S): Sandoz Ltd.  
 SOURCE: Ger. Offen., 69 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CH 586198	A	19770331	CH 1973-9414	19730628
CH 587822	A	19770513	CH 1973-9509	19730629
CH 586199	A	19770331	CH 1973-9598	19730702
BE 816724	A1	19741223	BE 1974-145766	19740621
ZA 7404025	A	19760128	ZA 1974-4025	19740621
PRIORITY APPLN. INFO.:			CH 1973-9177	A 19730622
			CH 1973-9414	A 19730628
			CH 1973-9509	A 19730629
			CH 1973-9598	A 19730702

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